

wherein R¹ is selected from the group consisting of:

- A) alkyl of from 1 to 10 carbon atoms;
- B) alkenyl of from 2 to 10 carbon atoms and 1-2 sites of alkenyl unsaturation;
- C) alkynyl of from 2 to 10 carbon atoms and from 1-2 sites of alkynyl unsaturation;
- D) cycloalkyl of from 3 to 12 carbon atoms;
- E) cycloalkenyl of from 4 to 8 carbon atoms;
- F) substituted alkyl of from 1 to 10 carbon atoms, having from 1 to 5 substituents selected from:
- 1) alkoxy of from 1 to 10 carbon atoms;
 - 2) substituted alkoxy of the formula substituted alkyl-O- where substituted alkyl is as defined in F herein;
 - 3) cycloalkyl which is as defined in D herein;
 - 4) substituted cycloalkyl is defined in I herein;
 - 5) cycloalkenyl which is defined in E herein;
 - 6) substituted cycloalkenyl which is defined in J herein;
 - 7) acyl selected from alkyl-C(O)-, substituted alkyl-C(O)-, cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)- and heterocyclic-C(O)- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein substituted cycloalkyl is

- C²
- defined in I herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 8) acylamino having the formula $-C(O)NRR$ where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 9) acyloxy selected from alkyl- $C(O)O-$, substituted alkyl- $C(O)O-$, cycloalkyl- $C(O)O-$, aryl- $C(O)O-$, heteroaryl- $C(O)O-$, and heterocyclic- $C(O)O-$ wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 10) amino;
- 11) aminoacyl having the formula $-NRC(O)R$ wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 12) aminoacyloxy having the formula $-NRC(O)OR$ wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein;

- C²
- wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 13) cyano;
 - 14) halogen;
 - 15) hydroxyl;
 - 16) carboxyl;
 - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
 - 19) thiol;
 - 20) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
 - 21) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
 - 22) aryl having from 6 to 14 ring carbon atoms, optionally substituted with from 1 to 5 substituents selected from the group consisting of:
 - a) hydroxy;
 - b) acyl as defined in F7 herein;
 - c) acyloxy as defined in F9 herein;
 - d) alkyl as defined in A herein;
 - e) substituted alkyl as defined in F herein;
 - f) alkoxy as defined in F1 herein;
 - g) substituted alkoxy as defined in F2 herein;
 - h) alkenyl as defined in B herein;
 - i) substituted alkenyl as defined in G herein;
 - j) alkynyl as defined in C herein;
 - k) substituted alkynyl as defined in H herein;
 - l) amino;

- 32
- m) aminoacyl as defined in F11 herein;
 - n) acylamino as defined in F8 herein;
 - o) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
 - p) aryl as defined in F22 herein;
 - q) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - r) azido;
 - s) carboxyl;
 - t) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
 - u) cyano;
 - v) halo selected from fluoro, chloro, bromo and iodo;
 - w) nitro;
 - x) heteroaryl as defined in F23 herein;
 - y) heterocyclic as defined in F24 herein;
 - z) aminoacyloxy as defined in F12 herein;
 - aa) oxyacylamino having the formula -OC(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
 - bb) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;

- C²
- cc) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
 - dd) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein;
 - ee) thioheteroaryloxy having the formula -S-heteroaryl wherein heteroaryl is defined F23 herein;
 - ff) -SO-alkyl wherein alkyl is defined in A herein;
 - gg) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
 - hh) -SO-aryl wherein aryl is defined in F22 herein;
 - ii) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
 - jj) -SO₂-alkyl wherein alkyl is defined in A herein;
 - kk) -SO₂-substituted alkyl wherein substituted alkyl is defined in F herein;
 - ll) -SO₂-aryl wherein aryl is defined in F22 herein;
 - mm) -SO₂-heteroaryl wherein heteroaryl is defined in F23 herein;
 - nn) trihalomethyl wherein halo is defined in I20 herein;
 - oo) mono- and dialkylamino wherein alkyl is defined in A herein;
 - pp) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
 - qq) mono- and di-arylamino wherein aryl is defined in F22 herein;
 - rr) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
 - ss) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein;
 - tt) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and

C²

- heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 23) heteroaryl of from 1 to 15 ring carbon atoms and 1 to 4 ring heteroatoms selected from oxygen, nitrogen and sulfur, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
 - b) substituted alkyl as defined in F herein;
 - c) alkoxy as defined in F1 herein;
 - d) substituted alkoxy as defined in F2 herein;
 - e) aryl as defined in F22 herein;
 - f) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - g) halo selected from fluoro, chloro, bromo and iodo;
 - h) nitro;
 - i) heteroaryl as defined in F23 herein;
 - j) thiol;
 - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
 - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
 - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein; and
 - n) trihalomethyl wherein halo is defined in I20 herein;

- C²
- 24) heterocyclic of from 1 to 15 ring carbon atoms and from 1 to 4 ring atoms selected from nitrogen, sulfur and oxygen, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
 - b) substituted alkyl as defined in F herein;
 - c) alkoxy as defined in F1 herein;
 - d) substituted alkoxy as defined in F2 herein;
 - e) aryl as defined in F22 herein;
 - f) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - g) halo selected from fluoro, chloro, bromo and iodo;
 - h) nitro;
 - i) heteroaryl as defined in F23 herein;
 - j) thiol;
 - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
 - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
 - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein; and
 - n) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- 25) aryloxy of the formula -O-aryl wherein aryl is defined in F22 herein;
- 26) heteroaryloxy of the formula -O-heteroaryl wherein heteroaryl is defined in F23 herein;
- 27) hydroxyamino;
- 28) alkoxyamino wherein alkoxy is defined in F1 herein;

- C2
- 29) nitro;
 - 30) -SO-alkyl wherein alkyl is defined in A herein;
 - 31) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
 - 32) -SO-aryl wherein aryl is defined in F22 herein;
 - 33) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 34) -SO₂-alkyl wherein alkyl is defined in A herein;
 - 35) -SO₂-substituted alkyl wherein substituted alkyl is defined in F herein;
 - 36) -SO₂-aryl wherein aryl is defined in F22 herein;
 - 37) -SO₂-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 38) mono- and dialkylamino wherein alkyl is defined in A herein;
 - 39) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
 - 40) mono- and di-arylamino wherein aryl is defined in F22 herein;
 - 41) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
 - 42) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein;
 - 43) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein; [,]

G) substituted alkenyl having from 1 to 3 substituents selected from the group consisting of:

- 1) alkoxy as defined in F1 herein;

- C²
- 2) substituted alkoxy as defined in F2 herein;
 - 3) acyl as defined in F7 herein;
 - 4) acylamino as defined in F8 herein;
 - 5) acyloxy as defined in F9 herein;
 - 6) amino;
 - 7) aminoacyl as defined in F11 herein;
 - 8) aminoacyloxy as defined in F12 herein;
 - 9) cyano;
 - 10) halogen selected from fluoro, chloro, bromo and iodo;
 - 11) hydroxyl;
 - 12) carboxyl;
 - 13) carboxylalkyl as defined in F18 herein;
 - 14) thiol;
 - 15) thioalkoxy as defined in F20 herein;
 - 16) substituted thioalkoxy as defined in F21 herein;
 - 17) aryl as defined in F22 herein;
 - 18) heteroaryl as defined in F23 herein;
 - 19) heterocyclic as defined in F24 herein;
 - 20) nitro;
 - 21) -SO-alkyl wherein alkyl is defined in A herein;
 - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
 - 23) -SO-aryl wherein aryl is defined in F22 herein;
 - 24) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 25) -SO₂-alkyl wherein alkyl is defined in A herein;
 - 26) -SO₂-substituted alkyl wherein substituted alkyl is defined in F herein;
 - 27) -SO₂-aryl wherein aryl is defined in F22 herein;

- C²
- 28) -SO₂-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 29) mono- and dialkylamino wherein alkyl is defined in A herein;
 - 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
 - 31) mono- and di-arylamino wherein aryl is defined in F22 herein;
 - 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
 - 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein; and
 - 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- H) substituted alkynyl of from 1 to 3 substituents selected from:
- 1) alkoxy as defined in F1 herein;
 - 2) substituted alkoxy as defined in F2 herein;
 - 3) acyl as defined in F7 herein;
 - 4) acylamino as defined in F8 herein;
 - 5) acyloxy as defined in F9 herein;
 - 6) amino;
 - 7) aminoacyl as defined in F11 herein;
 - 8) aminoacyloxy as defined in F12 herein;
 - 9) cyano;
 - 10) halogen selected from fluoro, chloro, bromo and iodo;
 - 11) hydroxyl;

- C²
- 12) carboxyl;
 - 13) carboxylalkyl as defined in F18 herein;
 - 14) thiol;
 - 15) thioalkoxy as defined in F20 herein;
 - 16) substituted thioalkoxy as defined in F21 herein;
 - 17) aryl as defined in F22 herein;
 - 18) heteroaryl as defined in F23 herein;
 - 19) heterocyclic as defined in F24 herein;
 - 20) nitro;
 - 21) -SO-alkyl wherein alkyl is defined in A herein;
 - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
 - 23) -SO-aryl wherein aryl is defined in F22 herein;
 - 24) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 25) -SO₂-alkyl wherein alkyl is defined in A herein;
 - 26) -SO₂-substituted alkyl wherein substituted alkyl is defined in F herein;
 - 27) -SO₂-aryl wherein aryl is defined in F22 herein;
 - 28) -SO₂-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 29) mono- and dialkylamino wherein alkyl is defined in A herein;
 - 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
 - 31) mono- and di-arylamino wherein aryl is defined in F22 herein;
 - 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
 - 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein; and

- C²
- 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- I) substituted cycloalkyl having 3 to 12 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) hydroxy;
 - 2) acyl as defined in F7 herein;
 - 3) acyloxy as defined in F9 herein;
 - 4) alkyl as defined in A herein;
 - 5) substituted alkyl as defined in F herein;
 - 6) alkoxy as defined in F1 herein;
 - 7) substituted alkoxy as defined in F2 herein;
 - 8) alkenyl as defined in B herein;
 - 9) substituted alkenyl as defined in G herein;
 - 10) alkynyl as defined in C herein;
 - 11) substituted alkynyl as defined in H herein;
 - 12) amino;
 - 13) aminoacyl as defined in F11 herein;
 - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
 - 15) aryl as defined in F22 herein;
 - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - 17) carboxyl;

- 32
- 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
 - 19) cyano;
 - 20) halo selected from fluoro, chloro, bromo and iodo;
 - 21) nitro;
 - 22) heteroaryl as defined in F23 herein;
 - 23) thioalkoxy as defined in F20 herein;
 - 24) substituted thioalkoxy as defined in F21 herein; and
 - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- J) substituted cycloalkenyl having from 4 to 8 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) hydroxy;
 - 2) acyl as defined in F7 herein;
 - 3) acyloxy as defined in F9 herein;
 - 4) alkyl as defined in A herein;
 - 5) substituted alkyl as defined in F herein;
 - 6) alkoxy as defined in F1 herein;
 - 7) substituted alkoxy as defined in F2 herein;
 - 8) alkenyl as defined in B herein;
 - 9) substituted alkenyl as defined in G herein;
 - 10) alkynyl as defined in C herein;
 - 11) substituted alkynyl as defined in H herein;
 - 12) amino;
 - 13) aminoacyl as defined in F11 herein;
 - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;

- C²
- 15) aryl as defined in F22 herein;
 - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - 17) carboxyl;
 - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
 - 19) cyano;
 - 20) halo selected from fluoro, chloro, bromo and iodo;
 - 21) nitro;
 - 22) heteroaryl as defined in F23 herein;
 - 23) thioalkoxy as defined in F20 herein;
 - 24) substituted thioalkoxy as defined in F21 herein; and
 - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- K) aryl as defined in F22 herein;
- L) heteroaryl as defined in F23 herein; and
- M) heterocyclic as defined in F24 herein;

Z' is represented by the formula -CX'X"-, -T-CH₂- or -T-C(O)- where T is selected from the group consisting of oxygen, sulfur, -NR⁵ where R⁵ is:

- N) hydrogen;
- O) acyl as defined in F7 herein;
- P) alkyl as defined in A herein;
- Q) aryl as defined in F22 herein; or
- R) heteroaryl as defined in F23 herein;

X' is hydrogen, hydroxy or fluoro; X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

C² R² is selected from the group consisting of:

- S) alkyl as defined in A herein;
- T) alkenyl as defined in B herein;
- U) alkynyl as defined in C herein;
- V) substituted alkyl as defined in F herein;
- W) substituted alkenyl as defined in G herein;
- X) substituted alkynyl as defined in H herein;
- Y) cycloalkyl as defined in D herein;
- Z) aryl as defined in F22 herein;
- AA) heteroaryl as defined in F23 herein;
- BB) heterocyclic as defined in F24 herein;
- BB¹) 2-aminopyrid-6-yl;
- BB²) 2-methylcyclopentyl;
- BB³) cyclohex-2-enyl; and
- BB⁴) $-(\text{CH}_2)_4\text{NHC}(\text{O})\text{OC}(\text{CH}_3)_3$

W, together with $-\text{C}(\text{H})_p\text{C}(=\text{X})-$, forms a:

- CC) cycloalkyl as defined in D herein;
- DD) cycloalkenyl as defined in E herein;
- EE) heterocyclic as defined in F24 herein;
- FF) substituted cycloalkyl as defined in I herein; or
- GG) substituted cycloalkenyl group as defined in J-herein;

wherein each of said cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl or substituted cycloalkenyl group is optionally fused to form a bi- or multi-fused ring system (preferably no more than 5 fused rings) with one or more ring structures selected from the group consisting of:

- HH) cycloalkyl as defined in D herein;

C²

II) cycloalkenyl as defined in E herein;
JJ) heterocyclic as defined in F24 herein;
KK) aryl as defined in F22 herein; and
LL) heteroaryl as defined in F23 herein;
which, in turn, each of such ring structures is optionally substituted with 1 to 4
substituents selected from the group consisting of:

- MM) hydroxyl;
- NN) halo as defined in F22v herein;
- OO) alkoxy as defined in F1 herein;
- PP) substituted alkoxy as defined in F2 herein;
- QQ) thioalkoxy as defined in F20 herein;
- RR) substituted thioalkoxy as defined in F21 herein;
- SS) nitro;
- TT) cyano;
- UU) carboxyl;
- VV) carboxyl esters;
- WW) alkyl as defined in A herein;
- XX) substituted alkyl as defined in F herein;
- YY) alkenyl as defined in B herein;
- ZZ) substituted alkenyl as defined in G herein;
- AAA) alkynyl as defined in C herein;
- BBB) substituted alkynyl as defined in H herein;
- CCC) amino;
- DDD) N-alkyl amino wherein alkyl is defined in A herein;
- EEE) N,N-dialkyl amino wherein alkyl is defined in A herein;
- FFF) N-substituted alkylamino wherein alkyl is defined in A herein;
- GGG) N-alkyl N-substituted alkylamino wherein alkyl is defined in A herein;

HHH) N,N-disubstituted alkyl amino;

C²
III) -NHC(O)R⁴ where each R⁴ is independently selected from the group consisting of:

- 1) alkyl as defined in A herein;
- 2) substituted alkyl as defined in F herein;
- 3) aryl as defined in F22 herein;

JJJ) -NHSO₂R⁴ wherein R⁴ is defined in III herein;

KKK) -C(O)NH₂;

LLL) -C(O)NHR⁴ where R⁴ is defined in III herein;

MMM) -C(O)NR⁴R⁴ where R⁴ is defined in III herein;

NNN) -S(O)R⁴ where R⁴ is defined in III herein;

OOO) -S(O)₂R⁴ where R⁴ is defined in III herein;

PPP) -S(O)₂NHR⁴ where R⁴ is defined in III herein; and

QQQ) -S(O)₂NR⁴R⁴ where R⁴ is defined in III herein;

X is selected from the group consisting of oxo (=O), thiooxo (=S), hydroxyl (-H, -OH), thiol (H, -SH) and hydro (H, H);

p is an integer equal to 0 or 1 such that when p is zero, the ring defined by W and -C(H) _{p} C(=X)- is unsaturated at the carbon atom of ring attachment to NH and when p is one, the ring is saturated at the carbon atom of ring attachment to NH;

and pharmaceutically acceptable salts thereof;

with the following provisos:

A. when R¹ is 3,5-difluorophenyl, R² is -CH₃, Z' is -CH₂-, and p is 1, then W, together with >CH and >C=X, does not form a 2-(S)-indanol group;

B. when R¹ is phenyl, R² is -CH₃, Z' is -CH₂-, p is 1, then W, together with >CH and >C=X, does not form a trans-2-hydroxy-cyclohex-1-yl group;

C. when R^1 is cyclopropyl, R^2 is $-\text{CH}_3$, Z' is $-\text{CH}_2-$, and p is 1, then W, together with $>\text{CH}$ and $>\text{C}=\text{X}$, does not form an N-methylcaprolactam group;

C² D. when R^1 is 4-chlorobenzoyl- CH_2- , R^2 is $-\text{CH}_3$, Z' is $-\text{CH}_2-$, and p is 1, then W, together with $>\text{CH}$ and $>\text{C}=\text{X}$, does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;

E. when R^1 is 2-phenylphenyl, R^2 is $-\text{CH}_3$, Z' is $-\text{CH}_2-$, and p is 1, then W, together with $>\text{CH}$ and $>\text{C}=\text{X}$, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;

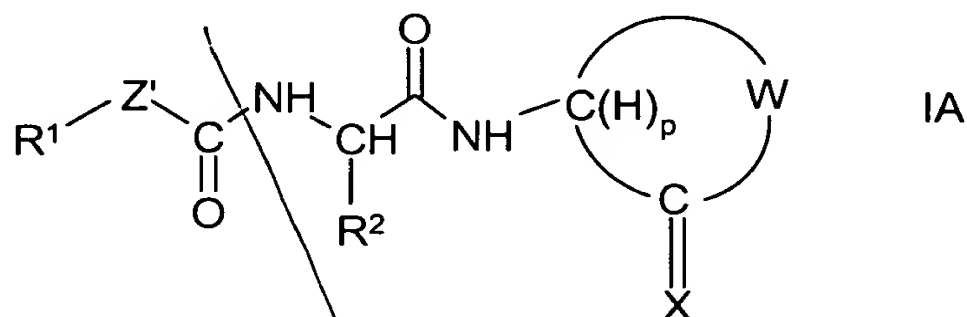
F. when R^1 is $\text{CH}_3\text{OC}(\text{O})\text{CH}_2-$, R^2 is $-\text{CH}_3$, Z' is $-\text{CH}_2-$, and p is 1, then W, together with $>\text{CH}$ and $>\text{C}=\text{X}$, does not form an 2,3-dihydro-1-(*t*-butyl $\text{C}(\text{O})\text{CH}_2-$)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

G. when R^1 is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl, $\text{CH}_3\text{OC}(\text{O})\text{CH}_2-$, 4- HOCH_2 -phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or $\text{CH}_3\text{S}-$, R^2 is $-\text{CH}_3$, Z' is $-\text{CH}_2-$, and p is 1, then W, together with $>\text{CH}$ and $>\text{C}=\text{X}$, does not form a 2,3-dihydro-1-(N,N-diethylamino- CH_2CH_2-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

H. when R^1 is 2,6-difluorophenyl, R^2 is $-\text{CH}_3$, Z' is $-\text{CH}(\text{OH})-$, and p is 1, then W, together with $>\text{CH}$ and $>\text{C}=\text{X}$, does not form a 2,3-dihydro-1-(N,N-diethylamino- CH_2CH_2-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

I. when the ring defined by W and $-\text{C}(\text{H})_p\text{C}(=\text{X})-$ forms a cycloalkyl, then it does not form a cycloalkyl of from 3 to 8 carbon atoms optionally-substituted with 1 to 3 alkyl groups.

92. (Amended) A method for preventing the onset of AD in a human patient at risk for developing AD which method comprises administering to said patient a pharmaceutical composition comprising a pharmaceutically inert carrier and an effective amount of a compound or mixture of compounds of formula IA:



wherein R¹ is selected from the group consisting of:

- A) alkyl of from 1 to 10 carbon atoms;
- B) alkenyl of from 2 to 10 carbon atoms and 1-2 sites of alkenyl unsaturation;
- C) alkynyl of from 2 to 10 carbon atoms and from 1-2 sites of alkynyl unsaturation;
- D) cycloalkyl of from 3 to 12 carbon atoms;
- E) cycloalkenyl of from 4 to 8 carbon atoms;
- F) substituted alkyl of from 1 to 10 carbon atoms, having from 1 to 5 substituents selected from:
- 1) alkoxy of from 1 to 10 carbon atoms;
 - 2) substituted alkoxy of the formula substituted alkyl-O- where substituted alkyl is as defined in F herein;
 - 3) cycloalkyl which is as defined in D herein;
 - 4) substituted cycloalkyl is defined in I herein;
 - 5) cycloalkenyl which is defined in E herein;
 - 6) substituted cycloalkenyl which is defined in J herein;
 - 7) acyl selected from alkyl-C(O)-, substituted alkyl-C(O)-, cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)- and heterocyclic-C(O)- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein substituted cycloalkyl is

C²
defined in I herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;

- 8) acylamino having the formula $-C(O)NRR$ where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 9) acyloxy selected from alkyl-C(O)O-, substituted alkyl-C(O)O-, cycloalkyl-C(O)O-, aryl-C(O)O-, heteroaryl-C(O)O-, and heterocyclic-C(O)O- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 10) amino;
- 11) aminoacyl having the formula $-NRC(O)R$ wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 12) aminoacyloxy having the formula $-NRC(O)OR$ wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein;

C²
wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;

- 13) cyano;
- 14) halogen;
- 15) hydroxyl;
- 16) carboxyl;
- 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- 19) thiol;
- 20) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
- 21) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
- 22) aryl having from 6 to 14 ring carbon atoms, optionally substituted with from 1 to 5 substituents selected from the group consisting of:
 - a) hydroxy;
 - b) acyl as defined in F7 herein;
 - c) acyloxy as defined in F9 herein;
 - d) alkyl as defined in A herein;
 - e) substituted alkyl as defined in F herein;
 - f) alkoxy as defined in F1 herein;
 - g) substituted alkoxy as defined in F2 herein;
 - h) alkenyl as defined in B herein;
 - i) substituted alkenyl as defined in G herein;
 - j) alkynyl as defined in C herein;
 - k) substituted alkynyl as defined in H herein;
 - l) amino;

- 32
- m) aminoacyl as defined in F11 herein;
 - n) acylamino as defined in F8 herein;
 - o) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
 - p) aryl as defined in F22 herein;
 - q) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - r) azido;
 - s) carboxyl;
 - t) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
 - u) cyano;
 - v) halo selected from fluoro, chloro, bromo and iodo;
 - w) nitro;
 - x) heteroaryl as defined in F23 herein;
 - y) heterocyclic as defined in F24 herein;
 - z) aminoacyloxy as defined in F12 herein;
 - aa) oxyacylamino having the formula -OC(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
 - bb) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;

- C2
- cc) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
 - dd) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein;
 - ee) thioheteroaryloxy having the formula -S-heteroaryl wherein heteroaryl is defined F23 herein;
 - ff) -SO-alkyl wherein alkyl is defined in A herein;
 - gg) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
 - hh) -SO-aryl wherein aryl is defined in F22 herein;
 - ii) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
 - jj) -SO₂-alkyl wherein alkyl is defined in A herein;
 - kk) -SO₂-substituted alkyl wherein substituted alkyl is defined in F herein;
 - ll) -SO₂-aryl wherein aryl is defined in F22 herein;
 - mm) -SO₂-heteroaryl wherein heteroaryl is defined in F23 herein;
 - nn) trihalomethyl wherein halo is defined in I20 herein;
 - oo) mono- and dialkylamino wherein alkyl is defined in A herein;
 - pp) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
 - qq) mono- and di-arylamino wherein aryl is defined in F22 herein;
 - rr) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
 - ss) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein;
 - tt) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and

- C²
- heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 23) heteroaryl of from 1 to 15 ring carbon atoms and 1 to 4 ring heteroatoms selected from oxygen, nitrogen and sulfur, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
 - b) substituted alkyl as defined in F herein;
 - c) alkoxy as defined in F1 herein;
 - d) substituted alkoxy as defined in F2 herein;
 - e) aryl as defined in F22 herein;
 - f) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - g) halo selected from fluoro, chloro, bromo and iodo;
 - h) nitro;
 - i) heteroaryl as defined in F23 herein;
 - j) thiol;
 - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
 - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
 - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein; and
 - n) trihalomethyl wherein halo is defined in I20 herein;

- C12
- 24) heterocyclic of from 1 to 15 ring carbon atoms and from 1 to 4 ring atoms selected from nitrogen, sulfur and oxygen, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
 - b) substituted alkyl as defined in F herein;
 - c) alkoxy as defined in F1 herein;
 - d) substituted alkoxy as defined in F2 herein;
 - e) aryl as defined in F22 herein;
 - f) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - g) halo selected from fluoro, chloro, bromo and iodo;
 - h) nitro;
 - i) heteroaryl as defined in F23 herein;
 - j) thiol;
 - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
 - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
 - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein; and
 - n) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- 25) aryloxy of the formula -O-aryl wherein aryl is defined in F22 herein;
- 26) heteroaryloxy of the formula -O-heteroaryl wherein heteroaryl is defined in F23 herein;
- 27) hydroxyamino;
- 28) alkoxyamino wherein alkoxy is defined in F1 herein;

- C²
- 29) nitro;
 - 30) -SO-alkyl wherein alkyl is defined in A herein;
 - 31) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
 - 32) -SO-aryl wherein aryl is defined in F22 herein;
 - 33) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 34) -SO₂-alkyl wherein alkyl is defined in A herein;
 - 35) -SO₂-substituted alkyl wherein substituted alkyl is defined in F herein;
 - 36) -SO₂-aryl wherein aryl is defined in F22 herein;
 - 37) -SO₂-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 38) mono- and dialkylamino wherein alkyl is defined in A herein;
 - 39) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
 - 40) mono- and di-arylamino wherein aryl is defined in F22 herein;
 - 41) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
 - 42) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein;
 - 43) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein; [,]

G) substituted alkenyl having from 1 to 3 substituents selected from the group consisting of:

- 1) alkoxy as defined in F1 herein;

- C²
- 2) substituted alkoxy as defined in F2 herein;
 - 3) acyl as defined in F7 herein;
 - 4) acylamino as defined in F8 herein;
 - 5) acyloxy as defined in F9 herein;
 - 6) amino;
 - 7) aminoacyl as defined in F11 herein;
 - 8) aminoacyloxy as defined in F12 herein;
 - 9) cyano;
 - 10) halogen selected from fluoro, chloro, bromo and iodo;
 - 11) hydroxyl;
 - 12) carboxyl;
 - 13) carboxylalkyl as defined in F18 herein;
 - 14) thiol;
 - 15) thioalkoxy as defined in F20 herein;
 - 16) substituted thioalkoxy as defined in F21 herein;
 - 17) aryl as defined in F22 herein;
 - 18) heteroaryl as defined in F23 herein;
 - 19) heterocyclic as defined in F24 herein;
 - 20) nitro;
 - 21) -SO-alkyl wherein alkyl is defined in A herein;
 - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
 - 23) -SO-aryl wherein aryl is defined in F22 herein;
 - 24) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 25) -SO₂-alkyl wherein alkyl is defined in A herein;
 - 26) -SO₂-substituted alkyl wherein substituted alkyl is defined in F herein;
 - 27) -SO₂-aryl wherein aryl is defined in F22 herein;

- C²
- 28) -SO₂-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 29) mono- and dialkylamino wherein alkyl is defined in A herein;
 - 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
 - 31) mono- and di-arylamino wherein aryl is defined in F22 herein;
 - 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
 - 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein; and
 - 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- H) substituted alkynyl of from 1 to 3 substituents selected from:
- 1) alkoxy as defined in F1 herein;
 - 2) substituted alkoxy as defined in F2 herein;
 - 3) acyl as defined in F7 herein;
 - 4) acylamino as defined in F8 herein;
 - 5) acyloxy as defined in F9 herein;
 - 6) amino;
 - 7) aminoacyl as defined in F11 herein;
 - 8) aminoacyloxy as defined in F12 herein;
 - 9) cyano;
 - 10) halogen selected from fluoro, chloro, bromo and iodo;
 - 11) hydroxyl;

- C2
- 12) carboxyl;
 - 13) carboxylalkyl as defined in F18 herein;
 - 14) thiol;
 - 15) thioalkoxy as defined in F20 herein;
 - 16) substituted thioalkoxy as defined in F21 herein;
 - 17) aryl as defined in F22 herein;
 - 18) heteroaryl as defined in F23 herein;
 - 19) heterocyclic as defined in F24 herein;
 - 20) nitro;
 - 21) -SO-alkyl wherein alkyl is defined in A herein;
 - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
 - 23) -SO-aryl wherein aryl is defined in F22 herein;
 - 24) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 25) -SO₂-alkyl wherein alkyl is defined in A herein;
 - 26) -SO₂-substituted alkyl wherein substituted alkyl is defined in F herein;
 - 27) -SO₂-aryl wherein aryl is defined in F22 herein;
 - 28) -SO₂-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 29) mono- and dialkylamino wherein alkyl is defined in A herein;
 - 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
 - 31) mono- and di-arylamino wherein aryl is defined in F22 herein;
 - 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
 - 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein; and

C²

34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;

I) substituted cycloalkyl having 3 to 12 carbon atoms and from 1 to 5 substituents selected from the group consisting of:

- 1) hydroxy;
- 2) acyl as defined in F7 herein;
- 3) acyloxy as defined in F9 herein;
- 4) alkyl as defined in A herein;
- 5) substituted alkyl as defined in F herein;
- 6) alkoxy as defined in F1 herein;
- 7) substituted alkoxy as defined in F2 herein;
- 8) alkenyl as defined in B herein;
- 9) substituted alkenyl as defined in G herein;
- 10) alkynyl as defined in C herein;
- 11) substituted alkynyl as defined in H herein;
- 12) amino;
- 13) aminoacyl as defined in F11 herein;
- 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
- 15) aryl as defined in F22 herein;
- 16) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
- 17) carboxyl;

C²

- 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
 - 19) cyano;
 - 20) halo selected from fluoro, chloro, bromo and iodo;
 - 21) nitro;
 - 22) heteroaryl as defined in F23 herein;
 - 23) thioalkoxy as defined in F20 herein;
 - 24) substituted thioalkoxy as defined in F21 herein; and
 - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- J) substituted cycloalkenyl having from 4 to 8 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) hydroxy;
 - 2) acyl as defined in F7 herein;
 - 3) acyloxy as defined in F9 herein;
 - 4) alkyl as defined in A herein;
 - 5) substituted alkyl as defined in F herein;
 - 6) alkoxy as defined in F1 herein;
 - 7) substituted alkoxy as defined in F2 herein;
 - 8) alkenyl as defined in B herein;
 - 9) substituted alkenyl as defined in G herein;
 - 10) alkynyl as defined in C herein;
 - 11) substituted alkynyl as defined in H herein;
 - 12) amino;
 - 13) aminoacyl as defined in F11 herein;
 - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;

C2

- 15) aryl as defined in F22 herein;
 - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - 17) carboxyl;
 - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
 - 19) cyano;
 - 20) halo selected from fluoro, chloro, bromo and iodo;
 - 21) nitro;
 - 22) heteroaryl as defined in F23 herein;
 - 23) thioalkoxy as defined in F20 herein;
 - 24) substituted thioalkoxy as defined in F21 herein; and
 - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- K) aryl as defined in F22 herein;
- L) heteroaryl as defined in F23 herein; and
- M) heterocyclic as defined in F24 herein;

Z' is represented by the formula -CX'X"-, -T-CH₂- or -T-C(O)- where T is selected from the group consisting of oxygen, sulfur, -NR⁵ where R⁵ is:

- N) hydrogen;
- O) acyl as defined in F7 herein;
- P) alkyl as defined in A herein;
- Q) aryl as defined in F22 herein; or
- R) heteroaryl as defined in F23 herein;

X' is hydrogen, hydroxy or fluoro; X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

C²
R² is selected from the group consisting of:

- S) alkyl as defined in A herein;
- T) alkenyl as defined in B herein;
- U) alkynyl as defined in C herein;
- V) substituted alkyl as defined in F herein;
- W) substituted alkenyl as defined in G herein;
- X) substituted alkynyl as defined in H herein;
- Y) cycloalkyl as defined in D herein;
- Z) aryl as defined in F22 herein;
- AA) heteroaryl as defined in F23 herein;
- BB) heterocyclic as defined in F24 herein;
- BB¹) 2-aminopyrid-6-yl;
- BB²) 2-methylcyclopentyl;
- BB³) cyclohex-2-enyl; and
- BB⁴) $-(CH_2)_4NHC(O)OC(CH_3)_3$

W, together with $-C(H)_pC(=X)-$, forms a:

- CC) cycloalkyl as defined in D herein;
- DD) cycloalkenyl as defined in E herein;
- EE) heterocyclic as defined in F24 herein;
- FF) substituted cycloalkyl as defined in I herein; or
- GG) substituted cycloalkenyl group as defined in J herein;

wherein each of said cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl or substituted cycloalkenyl group is optionally fused to form a bi- or multi-fused ring system (preferably no more than 5 fused rings) with one or more ring structures selected from the group consisting of:

- HH) cycloalkyl as defined in D herein;

- C²
- II) cycloalkenyl as defined in E herein;
 - JJ) heterocyclic as defined in F24 herein;
 - KK) aryl as defined in F22 herein; and
 - LL) heteroaryl as defined in F23 herein;

which, in turn, each of such ring structures is optionally substituted with 1 to 4 substituents selected from the group consisting of:

- MM) hydroxyl;
- NN) halo as defined in F22v herein;
- OO) alkoxy as defined in F1 herein;
- PP) substituted alkoxy as defined in F2 herein;
- QQ) thioalkoxy as defined in F20 herein;
- RR) substituted thioalkoxy as defined in F21 herein;
- SS) nitro;
- TT) cyano;
- UU) carboxyl;
- VV) carboxyl esters;
- WW) alkyl as defined in A herein;
- XX) substituted alkyl as defined in F herein;
- YY) alkenyl as defined in B herein;
- ZZ) substituted alkenyl as defined in G herein;
- AAA) alkynyl as defined in C herein;
- BBB) substituted alkynyl as defined in H herein;
- CCC) amino;
- DDD) N-alkyl amino wherein alkyl is defined in A herein;
- EEE) N,N-dialkyl amino wherein alkyl is defined in A herein;
- FFF) N-substituted alkylamino wherein alkyl is defined in A herein;
- GGG) N-alkyl N-substituted alkylamino wherein alkyl is defined in A herein;

HHH) N,N-disubstituted alkyl amino;

C²
III) -NHC(O)R⁴ where each R⁴ is independently selected from the group consisting of:

- 1) alkyl as defined in A herein;
- 2) substituted alkyl as defined in F herein;
- 3) aryl as defined in F22 herein;

JJJ) -NHSO₂R⁴ wherein R⁴ is defined in III herein;

KKK) -C(O)NH₂;

LLL) -C(O)NHR⁴ where R⁴ is defined in III herein;

MMM) -C(O)NR⁴R⁴ where R⁴ is defined in III herein;

NNN) -S(O)R⁴ where R⁴ is defined in III herein;

OOO) -S(O)₂R⁴ where R⁴ is defined in III herein;

PPP) -S(O)₂NHR⁴ where R⁴ is defined in III herein; and

QQQ) -S(O)₂NR⁴R⁴ where R⁴ is defined in III herein;

X is selected from the group consisting of oxo (=O), thiooxo (=S), hydroxyl (-H, -OH), thiol (H, -SH) and hydro (H, H);

p is an integer equal to 0 or 1 such that when *p* is zero, the ring defined by W and -C(H)_{*p*}C(=X)- is unsaturated at the carbon atom of ring attachment to NH and when *p* is one, the ring is saturated at the carbon atom of ring attachment to NH;

and pharmaceutically acceptable salts thereof;

with the following provisos:

A. when R¹ is 3,5-difluorophenyl, R² is -CH₃, Z' is -CH₂-, and *p* is 1, then W, together with >CH and >C=X, does not form a 2-(S)-indanol group;

B. when R¹ is phenyl, R² is -CH₃, Z' is -CH₂-, *p* is 1, then W, together with >CH and >C=X, does not form a trans-2-hydroxy-cyclohex-1-yl group;

C. when R^1 is cyclopropyl, R^2 is $-CH_3$, Z' is $-CH_2-$, and p is 1, then W, together with $>CH$ and $>C=X$, does not form an N-methylcaprolactam group;

C² D. when R^1 is 4-chlorobenzoyl- CH_2- , R^2 is $-CH_3$, Z' is $-CH_2-$, and p is 1, then W, together with $>CH$ and $>C=X$, does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;

E. when R^1 is 2-phenylphenyl, R^2 is $-CH_3$, Z' is $-CH_2-$, and p is 1, then W, together with $>CH$ and $>C=X$, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;

F. when R^1 is $CH_3OC(O)CH_2-$, R^2 is $-CH_3$, Z' is $-CH_2-$, and p is 1, then W, together with $>CH$ and $>C=X$, does not form an 2,3-dihydro-1-(*t*-butylC(O) CH_2-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

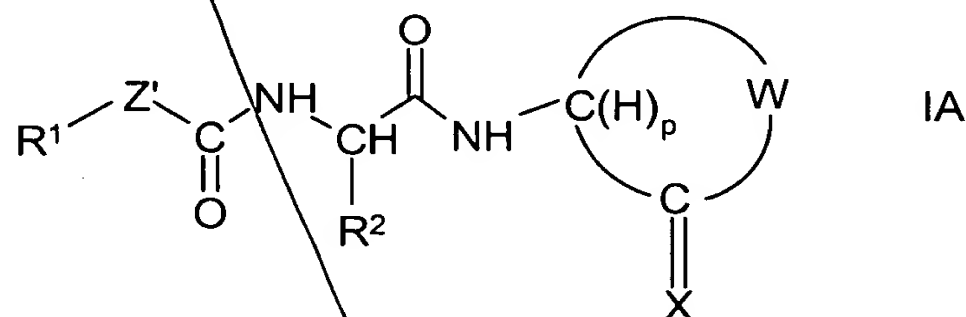
G. when R^1 is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl, $CH_3OC(O)CH_2-$, 4- $HOCH_2$ -phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or CH_3S- , R^2 is $-CH_3$, Z' is $-CH_2-$, and p is 1, then W, together with $>CH$ and $>C=X$, does not form a 2,3-dihydro-1-(N,N-diethylamino- CH_2CH_2-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

H. when R^1 is 2,6-difluorophenyl, R^2 is $-CH_3$, Z' is $-CH(OH)-$, and p is 1, then W, together with $>CH$ and $>C=X$, does not form a 2,3-dihydro-1-(N,N-diethylamino- CH_2CH_2-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

I. when the ring defined by W and $-C(H)_pC(=X)-$ forms a cycloalkyl, then it does not form a cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.

93. (Amended) A method for treating a human patient with AD in order to inhibit further deterioration in the condition of that patient which method comprises administering

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to said patient a pharmaceutical composition comprising a pharmaceutically inert carrier and an effective amount of a compound or a mixture of compounds of formula IA:



wherein R^1 is selected from the group consisting of:

- A) alkyl of from 1 to 10 carbon atoms;
- B) alkenyl of from 2 to 10 carbon atoms and 1-2 sites of alkenyl unsaturation;
- C) alkynyl of from 2 to 10 carbon atoms and from 1-2 sites of alkynyl unsaturation;
- D) cycloalkyl of from 3 to 12 carbon atoms;
- E) cycloalkenyl of from 4 to 8 carbon atoms;
- F) substituted alkyl of from 1 to 10 carbon atoms, having from 1 to 5 substituents selected from:
 - 1) alkoxy of from 1 to 10 carbon atoms;
 - 2) substituted alkoxy of the formula substituted alkyl-O- where substituted alkyl is as defined in F herein;
 - 3) cycloalkyl which is as defined in D herein;
 - 4) substituted cycloalkyl is defined in I herein;
 - 5) cycloalkenyl which is defined in E herein;
 - 6) substituted cycloalkenyl which is defined in J herein;
 - 7) acyl selected from alkyl-C(O)-, substituted alkyl-C(O)-,

C2
cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)- and heterocyclic-C(O)- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein substituted cycloalkyl is defined in I herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;

- 8) acylamino having the formula -C(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 9) acyloxy selected from alkyl-C(O)O-, substituted alkyl-C(O)O-, cycloalkyl-C(O)O-, aryl-C(O)O-, heteroaryl-C(O)O-, and heterocyclic-C(O)O- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 10) amino;
- 11) aminoacyl having the formula -NRC(O)R wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;

- C²
- 12) aminoacyloxy having the formula -NRC(O)OR wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 13) cyano;
- 14) halogen;
- 15) hydroxyl;
- 16) carboxyl;
- 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- 19) thiol;
- 20) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
- 21) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
- 22) aryl having from 6 to 14 ring carbon atoms, optionally substituted with from 1 to 5 substituents selected from the group consisting of:
- a) hydroxy;
 - b) acyl as defined in F7 herein;
 - c) acyloxy as defined in F9 herein;
 - d) alkyl as defined in A herein;
 - e) substituted alkyl as defined in F herein;
 - f) alkoxy as defined in F1 herein;
 - g) substituted alkoxy as defined in F2 herein;
 - h) alkenyl as defined in B herein;

- Cr2
- i) substituted alkenyl as defined in G herein;
 - j) alkynyl as defined in C herein;
 - k) substituted alkynyl as defined in H herein;
 - l) amino;
 - m) aminoacyl as defined in F11 herein;
 - n) acylamino as defined in F8 herein;
 - o) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
 - p) aryl as defined in F22 herein;
 - q) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - r) azido;
 - s) carboxyl;
 - t) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
 - u) cyano;
 - v) halo selected from fluoro, chloro, bromo and iodo;
 - w) nitro;
 - x) heteroaryl as defined in F23 herein;
 - y) heterocyclic as defined in F24 herein;
 - z) aminoacyloxy as defined in F12 herein;
 - aa) oxyacylamino having the formula -OC(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is

- C²
- defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- bb) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
 - cc) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
 - dd) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein;
 - ee) thioheteroaryloxy having the formula -S-heteroaryl wherein heteroaryl is defined F23 herein;
 - ff) -SO-alkyl wherein alkyl is defined in A herein;
 - gg) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
 - hh) -SO-aryl wherein aryl is defined in F22 herein;
 - ii) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
 - jj) -SO₂-alkyl wherein alkyl is defined in A herein;
 - kk) -SO₂-substituted alkyl wherein substituted alkyl is defined in F herein;
 - ll) -SO₂-aryl wherein aryl is defined in F22 herein;
 - mm) -SO₂-heteroaryl wherein heteroaryl is defined in F23 herein;
 - nn) trihalomethyl wherein halo is defined in I20 herein;
 - oo) mono- and dialkylamino wherein alkyl is defined in A herein;
 - pp) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
 - qq) mono- and di-arylamino wherein aryl is defined in F22 herein;
 - rr) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;

- C²
- ss) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein;
 - tt) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
 - 23) heteroaryl of from 1 to 15 ring carbon atoms and 1 to 4 ring heteroatoms selected from oxygen, nitrogen and sulfur, optionally substituted with from 1 to 5 substituents selected from:
 - a) alkyl as defined in A herein;
 - b) substituted alkyl as defined in F herein;
 - c) alkoxy as defined in F1 herein;
 - d) substituted alkoxy as defined in F2 herein;
 - e) aryl as defined in F22 herein;
 - f) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - g) halo selected from fluoro, chloro, bromo and iodo;
 - h) nitro;
 - i) heteroaryl as defined in F23 herein;
 - j) thiol;
 - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
 - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;

- 02
- m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein; and
- n) trihalomethyl wherein halo is defined in I20 herein;
- 24) heterocyclic of from 1 to 15 ring carbon atoms and from 1 to 4 ring atoms selected from nitrogen, sulfur and oxygen, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
- b) substituted alkyl as defined in F herein;
- c) alkoxy as defined in F1 herein;
- d) substituted alkoxy as defined in F2 herein;
- e) aryl as defined in F22 herein;
- f) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
- g) halo selected from fluoro, chloro, bromo and iodo;
- h) nitro;
- i) heteroaryl as defined in F23 herein;
- j) thiol;
- k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
- l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
- m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein; and
- n) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- 25) aryloxy of the formula -O-aryl wherein aryl is defined in F22 herein;

- CS
- 26) heteroaryloxy of the formula -O-heteroaryl wherein heteroaryl is defined in F23 herein;
- 27) hydroxyamino;
- 28) alkoxyamino wherein alkoxy is defined in F1 herein;
- 29) nitro;
- 30) -SO-alkyl wherein alkyl is defined in A herein;
- 31) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- 32) -SO-aryl wherein aryl is defined in F22 herein;
- 33) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
- 34) -SO₂-alkyl wherein alkyl is defined in A herein;
- 35) -SO₂-substituted alkyl wherein substituted alkyl is defined in F herein;
- 36) -SO₂-aryl wherein aryl is defined in F22 herein;
- 37) -SO₂-heteroaryl wherein heteroaryl is defined in F23 herein;
- 38) mono- and dialkylamino wherein alkyl is defined in A herein;
- 39) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- 40) mono- and di-arylamino wherein aryl is defined in F22 herein;
- 41) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
- 42) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein;
- 43) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein;

wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein; [.]

C2 G) substituted alkenyl having from 1 to 3 substituents selected from the group consisting of:

- 1) alkoxy as defined in F1 herein;
- 2) substituted alkoxy as defined in F2 herein;
- 3) acyl as defined in F7 herein;
- 4) acylamino as defined in F8 herein;
- 5) acyloxy as defined in F9 herein;
- 6) amino;
- 7) aminoacyl as defined in F11 herein;
- 8) aminoacyloxy as defined in F12 herein;
- 9) cyano;
- 10) halogen selected from fluoro, chloro, bromo and iodo;
- 11) hydroxyl;
- 12) carboxyl;
- 13) carboxylalkyl as defined in F18 herein;
- 14) thiol;
- 15) thioalkoxy as defined in F20 herein;
- 16) substituted thioalkoxy as defined in F21 herein;
- 17) aryl as defined in F22 herein;
- 18) heteroaryl as defined in F23 herein;
- 19) heterocyclic as defined in F24 herein;
- 20) nitro;
- 21) -SO-alkyl wherein alkyl is defined in A herein;
- 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- 23) -SO-aryl wherein aryl is defined in F22 herein;

- C2
- 24) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 25) -SO₂-alkyl wherein alkyl is defined in A herein;
 - 26) -SO₂-substituted alkyl wherein substituted alkyl is defined in F herein;
 - 27) -SO₂-aryl wherein aryl is defined in F22 herein;
 - 28) -SO₂-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 29) mono- and dialkylamino wherein alkyl is defined in A herein;
 - 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
 - 31) mono- and di-arylamino wherein aryl is defined in F22 herein;
 - 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
 - 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein; and
 - 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;

H) substituted alkynyl of from 1 to 3 substituents selected from:

- 1) alkoxy as defined in F1 herein;
- 2) substituted alkoxy as defined in F2 herein;
- 3) acyl as defined in F7 herein;
- 4) acylamino as defined in F8 herein;
- 5) acyloxy as defined in F9 herein;
- 6) amino;

- C²
- 7) aminoacyl as defined in F11 herein;
 - 8) aminoacyloxy as defined in F12 herein;
 - 9) cyano;
 - 10) halogen selected from fluoro, chloro, bromo and iodo;
 - 11) hydroxyl;
 - 12) carboxyl;
 - 13) carboxylalkyl as defined in F18 herein;
 - 14) thiol;
 - 15) thioalkoxy as defined in F20 herein;
 - 16) substituted thioalkoxy as defined in F21 herein;
 - 17) aryl as defined in F22 herein;
 - 18) heteroaryl as defined in F23 herein;
 - 19) heterocyclic as defined in F24 herein;
 - 20) nitro;
 - 21) -SO-alkyl wherein alkyl is defined in A herein;
 - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
 - 23) -SO-aryl wherein aryl is defined in F22 herein;
 - 24) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 25) -SO₂-alkyl wherein alkyl is defined in A herein;
 - 26) -SO₂-substituted alkyl wherein substituted alkyl is defined in F herein;
 - 27) -SO₂-aryl wherein aryl is defined in F22 herein;
 - 28) -SO₂-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 29) mono- and dialkylamino wherein alkyl is defined in A herein;
 - 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
 - 31) mono- and di-arylamino wherein aryl is defined in F22 herein;

- C²
- 32) mono- and di-heteroaryl amino wherein heteroaryl is defined in F23 herein;
- 33) mono- and di-heterocyclic amino wherein heterocyclic is defined in F24 herein; and
- 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- I) substituted cycloalkyl having 3 to 12 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) hydroxy;
 - 2) acyl as defined in F7 herein;
 - 3) acyloxy as defined in F9 herein;
 - 4) alkyl as defined in A herein;
 - 5) substituted alkyl as defined in F herein;
 - 6) alkoxy as defined in F1 herein;
 - 7) substituted alkoxy as defined in F2 herein;
 - 8) alkenyl as defined in B herein;
 - 9) substituted alkenyl as defined in G herein;
 - 10) alkynyl as defined in C herein;
 - 11) substituted alkynyl as defined in H herein;
 - 12) amino;
 - 13) aminoacyl as defined in F11 herein;
 - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;

- C²
- 15) aryl as defined in F22 herein;
 - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - 17) carboxyl;
 - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
 - 19) cyano;
 - 20) halo selected from fluoro, chloro, bromo and iodo;
 - 21) nitro;
 - 22) heteroaryl as defined in F23 herein;
 - 23) thioalkoxy as defined in F20 herein;
 - 24) substituted thioalkoxy as defined in F21 herein; and
 - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- J) substituted cycloalkenyl having from 4 to 8 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) hydroxy;
 - 2) acyl as defined in F7 herein;
 - 3) acyloxy as defined in F9 herein;
 - 4) alkyl as defined in A herein;
 - 5) substituted alkyl as defined in F herein;
 - 6) alkoxy as defined in F1 herein;
 - 7) substituted alkoxy as defined in F2 herein;
 - 8) alkenyl as defined in B herein;
 - 9) substituted alkenyl as defined in G herein;
 - 10) alkynyl as defined in C herein;
 - 11) substituted alkynyl as defined in H herein;

- C²
- 12) amino;
 - 13) aminoacyl as defined in F11 herein;
 - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
 - 15) aryl as defined in F22 herein;
 - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - 17) carboxyl;
 - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
 - 19) cyano;
 - 20) halo selected from fluoro, chloro, bromo and iodo;
 - 21) nitro;
 - 22) heteroaryl as defined in F23 herein;
 - 23) thioalkoxy as defined in F20 herein;
 - 24) substituted thioalkoxy as defined in F21 herein; and
 - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- K) aryl as defined in F22 herein;
- L) heteroaryl as defined in F23 herein; and
- M) heterocyclic as defined in F24 herein;

Z' is represented by the formula -CX'X"-, -T-CH₂- or -T-C(O)- where T is selected from the group consisting of oxygen, sulfur, -NR⁵ where R⁵ is:

- N) hydrogen;
- O) acyl as defined in F7 herein;
- P) alkyl as defined in A herein;

Q) aryl as defined in F22 herein; or

C² R) heteroaryl as defined in F23 herein;

X' is hydrogen, hydroxy or fluoro; X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

R² is selected from the group consisting of:

S) alkyl as defined in A herein;

T) alkenyl as defined in B herein;

U) alkynyl as defined in C herein;

V) substituted alkyl as defined in F herein;

W) substituted alkenyl as defined in G herein;

X) substituted alkynyl as defined in H herein;

Y) cycloalkyl as defined in D herein;

Z) aryl as defined in F22 herein;

AA) heteroaryl as defined in F23 herein;

BB) heterocyclic as defined in F24 herein;

BB¹) 2-aminopyrid-6-yl;

BB²) 2-methylcyclopentyl;

BB³) cyclohex-2-enyl; and

BB⁴) $-(CH_2)_4NHC(O)OC(CH_3)_3$

W, together with $-C(H)_pC(=X)-$, forms a:

CC) cycloalkyl as defined in D herein;

DD) cycloalkenyl as defined in E herein;

EE) heterocyclic as defined in F24 herein;

FF) substituted cycloalkyl as defined in I herein; or

GG) substituted cycloalkenyl group as defined in J herein;

C² wherein each of said cycloalkyl, cycloalkenyl, heterocyclic, substituted cycloalkyl or substituted cycloalkenyl group is optionally fused to form a bi- or multi-fused ring system (preferably no more than 5 fused rings) with one or more ring structures selected from the group consisting of:

- HH) cycloalkyl as defined in D herein;
- II) cycloalkenyl as defined in E herein;
- JJ) heterocyclic as defined in F24 herein;
- KK) aryl as defined in F22 herein; and
- LL) heteroaryl as defined in F23 herein;

which, in turn, each of such ring structures is optionally substituted with 1 to 4 substituents selected from the group consisting of:

- MM) hydroxyl;
- NN) halo as defined in F22v herein;
- OO) alkoxy as defined in F1 herein;
- PP) substituted alkoxy as defined in F2 herein;
- QQ) thioalkoxy as defined in F20 herein;
- RR) substituted thioalkoxy as defined in F21 herein;
- SS) nitro;
- TT) cyano;
- UU) carboxyl;
- VV) carboxyl esters;
- WW) alkyl as defined in A herein;
- XX) substituted alkyl as defined in F herein;
- YY) alkenyl as defined in B herein;
- ZZ) substituted alkenyl as defined in G herein;
- AAA) alkynyl as defined in C herein;
- BBB) substituted alkynyl as defined in H herein;

- C²
- CCC) amino;
DDD) N-alkyl amino wherein alkyl is defined in A herein;
EEE) N,N-dialkyl amino wherein alkyl is defined in A herein;
FFF) N-substituted alkylamino wherein alkyl is defined in A herein;
GGG) N-alkyl N-substituted alkylamino wherein alkyl is defined in A herein;
HHH) N,N-disubstituted alkyl amino;
III) -NHC(O)R⁴ where each R⁴ is independently selected from the group consisting of:
1) alkyl as defined in A herein;
2) substituted alkyl as defined in F herein;
3) aryl as defined in F22 herein;
JJJ) -NHSO₂R⁴ wherein R⁴ is defined in III herein;
KKK) -C(O)NH₂;
LLL) -C(O)NHR⁴ where R⁴ is defined in III herein;
MMM) -C(O)NR⁴R⁴ where R⁴ is defined in III herein;
NNN) -S(O)R⁴ where R⁴ is defined in III herein;
OOO) -S(O)₂R⁴ where R⁴ is defined in III herein;
PPP) -S(O)₂NHR⁴ where R⁴ is defined in III herein; and
QQQ) -S(O)₂NR⁴R⁴ where R⁴ is defined in III herein;

X is selected from the group consisting of oxo (=O), thiooxo (=S), hydroxyl (-H, -OH), thiol (H, -SH) and hydro (H, H);

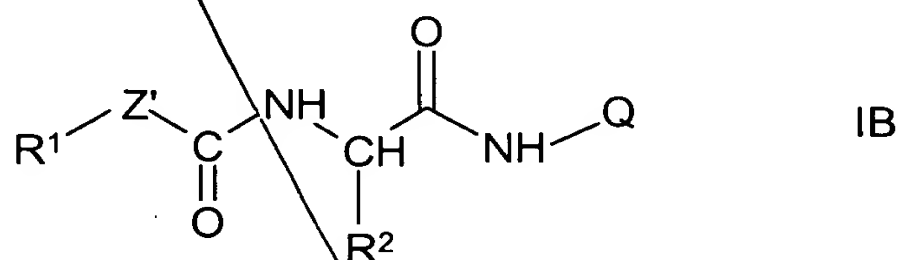
p is an integer equal to 0 or 1 such that when p is zero, the ring defined by W and -C(H) _{p} C(=X)- is unsaturated at the carbon atom of ring attachment to NH and when p is one, the ring is saturated at the carbon atom of ring attachment to NH;

and pharmaceutically acceptable salts thereof;

with the following provisos:

- C²
- A. when R^1 is 3,5-difluorophenyl, R^2 is $-CH_3$, Z' is $-CH_2-$, and p is 1, then W, together with $>CH$ and $>C=X$, does not form a 2-(S)-indanol group;
- B. when R^1 is phenyl, R^2 is $-CH_3$, Z' is $-CH_2-$, p is 1, then W, together with $>CH$ and $>C=X$, does not form a trans-2-hydroxy-cyclohex-1-yl group;
- C. when R^1 is cyclopropyl, R^2 is $-CH_3$, Z' is $-CH_2-$, and p is 1, then W, together with $>CH$ and $>C=X$, does not form an N-methylcaprolactam group;
- D. when R^1 is 4-chlorobenzoyl- CH_2- , R^2 is $-CH_3$, Z' is $-CH_2-$, and p is 1, then W, together with $>CH$ and $>C=X$, does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;
- E. when R^1 is 2-phenylphenyl, R^2 is $-CH_3$, Z' is $-CH_2-$, and p is 1, then W, together with $>CH$ and $>C=X$, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;
- F. when R^1 is $CH_3OC(O)CH_2-$, R^2 is $-CH_3$, Z' is $-CH_2-$, and p is 1, then W, together with $>CH$ and $>C=X$, does not form an 2,3-dihydro-1-(*t*-butylC(O) CH_2-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
- G. when R^1 is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl, $CH_3OC(O)CH_2-$, 4- $HOCH_2$ -phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or CH_3S- , R^2 is $-CH_3$, Z' is $-CH_2-$, and p is 1, then W, together with $>CH$ and $>C=X$, does not form a 2,3-dihydro-1-(N,N-diethylamino- CH_2CH_2-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
- H. when R^1 is 2,6-difluorophenyl, R^2 is $-CH_3$, Z' is $-CH(OH)-$, and p is 1, then W, together with $>CH$ and $>C=X$, does not form a 2,3-dihydro-1-(N,N-diethylamino- CH_2CH_2-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
- I. when the ring defined by W and $-C(H)_pC(=X)-$ forms a cycloalkyl, then it does not form a cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.

3
95. (Amended) A method for inhibiting β -amyloid peptide release and/or its synthesis in a cell which method comprises administering to such a cell an amount of a compound or a mixture of compounds effective in inhibiting the cellular release and/or synthesis of β -amyloid peptide wherein said compounds are represented by formula IB:



wherein R^1 is selected from the group consisting of:

- A) alkyl of from 1 to 10 carbon atoms;
- B) alkenyl of from 2 to 10 carbon atoms and 1-2 sites of alkenyl unsaturation;
- C) alkynyl of from 2 to 10 carbon atoms and from 1-2 sites of alkynyl unsaturation;
- D) cycloalkyl of from 3 to 12 carbon atoms;
- E) cycloalkenyl of from 4 to 8 carbon atoms;
- F) substituted alkyl of from 1 to 10 carbon atoms, having from 1 to 5 substituents selected from:
 - 1) alkoxy of from 1 to 10 carbon atoms;
 - 2) substituted alkoxy of the formula substituted alkyl-O- where substituted alkyl is as defined in F herein;
 - 3) cycloalkyl which is as defined in D herein;
 - 4) substituted cycloalkyl is defined in I herein;
 - 5) cycloalkenyl which is defined in E herein;

- C-3
- 6) substituted cycloalkenyl which is defined in J herein;
 - 7) acyl selected from alkyl-C(O)-, substituted alkyl-C(O)-, cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)- and heterocyclic-C(O)- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein substituted cycloalkyl is defined in I herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
 - 8) acylamino having the formula -C(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
 - 9) acyloxy selected from alkyl-C(O)O-, substituted alkyl-C(O)O-, cycloalkyl-C(O)O-, aryl-C(O)O-, heteroaryl-C(O)O-, and heterocyclic-C(O)O- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
 - 10) amino;
 - 11) aminoacyl having the formula -NRC(O)R wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein;

- C³
- wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 12) aminoacyloxy having the formula -NRC(O)OR wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 13) cyano;
- 14) halogen;
- 15) hydroxyl;
- 16) carboxyl;
- 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- 19) thiol;
- 20) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
- 21) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
- 22) aryl having from 6 to 14 ring carbon atoms, optionally substituted with from 1 to 5 substituents selected from the group consisting of:
- hydroxy;
 - acyl as defined in F7 herein;
 - acyloxy as defined in F9 herein;
 - alkyl as defined in A herein;
 - substituted alkyl as defined in F herein;
 - alkoxy as defined in F1 herein;

- 03
- g) substituted alkoxy as defined in F2 herein;
 - h) alkenyl as defined in B herein;
 - i) substituted alkenyl as defined in G herein;
 - j) alkynyl as defined in C herein;
 - k) substituted alkynyl as defined in H herein;
 - l) amino;
 - m) aminoacyl as defined in F11 herein;
 - n) acylamino as defined in F8 herein;
 - o) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
 - p) aryl as defined in F22 herein;
 - q) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - r) azido;
 - s) carboxyl;
 - t) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
 - u) cyano;
 - v) halo selected from fluoro, chloro, bromo and iodo;
 - w) nitro;
 - x) heteroaryl as defined in F23 herein;
 - y) heterocyclic as defined in F24 herein;
 - z) aminoacyloxy as defined in F12 herein;
 - aa) oxyacylamino having the formula -OC(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein;

- 33
- wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- bb) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
 - cc) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
 - dd) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein;
 - ee) thioheteroaryloxy having the formula -S-heteroaryl wherein heteroaryl is defined F23 herein;
 - ff) -SO-alkyl wherein alkyl is defined in A herein;
 - gg) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
 - hh) -SO-aryl wherein aryl is defined in F22 herein;
 - ii) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
 - jj) -SO₂-alkyl wherein alkyl is defined in A herein;
 - kk) -SO₂-substituted alkyl wherein substituted alkyl is defined in F herein;
 - ll) -SO₂-aryl wherein aryl is defined in F22 herein;
 - mm) -SO₂-heteroaryl wherein heteroaryl is defined in F23 herein;
 - nn) trihalomethyl wherein halo is defined in F20 herein;
 - oo) mono- and dialkylamino wherein alkyl is defined in A herein;
 - pp) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
 - qq) mono- and di-arylamino wherein aryl is defined in F22 herein;

- 3
- rr) mono- and di-heteroaryl-amino wherein heteroaryl is defined in F23 herein;
 - ss) mono- and di-heterocyclic-amino wherein heterocyclic is defined in F24 herein;
 - tt) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 23) heteroaryl of from 1 to 15 ring carbon atoms and 1 to 4 ring heteroatoms selected from oxygen, nitrogen and sulfur, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
 - b) substituted alkyl as defined in F herein;
 - c) alkoxy as defined in F1 herein;
 - d) substituted alkoxy as defined in F2 herein;
 - e) aryl as defined in F22 herein;
 - f) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - g) halo selected from fluoro, chloro, bromo and iodo;
 - h) nitro;
 - i) heteroaryl as defined in F23 herein;
 - j) thiol;
 - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;

- 23
- l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
 - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein; and
 - n) trihalomethyl wherein halo is defined in I20 herein;
- 24) heterocyclic of from 1 to 15 ring carbon atoms and from 1 to 4 ring atoms selected from nitrogen, sulfur and oxygen, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
 - b) substituted alkyl as defined in F herein;
 - c) alkoxy as defined in F1 herein;
 - d) substituted alkoxy as defined in F2 herein;
 - e) aryl as defined in F22 herein;
 - f) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - g) halo selected from fluoro, chloro, bromo and iodo;
 - h) nitro;
 - i) heteroaryl as defined in F23 herein;
 - j) thiol;
 - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
 - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
 - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein; and

- 23
- n) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- 25) aryloxy of the formula -O-aryl wherein aryl is defined in F22 herein;
- 26) heteroaryloxy of the formula -O-heteroaryl wherein heteroaryl is defined in F23 herein;
- 27) hydroxyamino;
- 28) alkoxyamino wherein alkoxy is defined in F1 herein;
- 29) nitro;
- 30) -SO-alkyl wherein alkyl is defined in A herein;
- 31) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- 32) -SO-aryl wherein aryl is defined in F22 herein;
- 33) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
- 34) -SO₂-alkyl wherein alkyl is defined in A herein;
- 35) -SO₂-substituted alkyl wherein substituted alkyl is defined in F herein;
- 36) -SO₂-aryl wherein aryl is defined in F22 herein;
- 37) -SO₂-heteroaryl wherein heteroaryl is defined in F23 herein;
- 38) mono- and dialkylamino wherein alkyl is defined in A herein;
- 39) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- 40) mono- and di-arylamino wherein aryl is defined in F22 herein;
- 41) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
- 42) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein;
- 43) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and

23 heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;

G) substituted alkenyl having from 1 to 3 substituents selected from the group consisting of:

- 1) alkoxy as defined in F1 herein;
- 2) substituted alkoxy as defined in F2 herein;
- 3) acyl as defined in F7 herein;
- 4) acylamino as defined in F8 herein;
- 5) acyloxy as defined in F9 herein;
- 6) amino;
- 7) aminoacyl as defined in F11 herein;
- 8) aminoacyloxy as defined in F12 herein;
- 9) cyano;
- 10) halogen selected from fluoro, chloro, bromo and iodo;
- 11) hydroxyl;
- 12) carboxyl;
- 13) carboxylalkyl as defined in F18 herein;
- 14) thiol;
- 15) thioalkoxy as defined in F20 herein;
- 16) substituted thioalkoxy as defined in F21 herein;
- 17) aryl as defined in F22 herein;
- 18) heteroaryl as defined in F23 herein;
- 19) heterocyclic as defined in F24 herein;
- 20) nitro;
- 21) -SO-alkyl wherein alkyl is defined in A herein;

- 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- 23) -SO-aryl wherein aryl is defined in F22 herein;
- 24) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
- 25) -SO₂-alkyl wherein alkyl is defined in A herein;
- 26) -SO₂-substituted alkyl wherein substituted alkyl is defined in F herein;
- 27) -SO₂-aryl wherein aryl is defined in F22 herein;
- 28) -SO₂-heteroaryl wherein heteroaryl is defined in F23 herein;
- 29) mono- and dialkylamino wherein alkyl is defined in A herein;
- 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- 31) mono- and di-arylamino wherein aryl is defined in F22 herein;
- 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
- 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein; and
- 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;

H) substituted alkynyl of from 1 to 3 substituents selected from:

- 1) alkoxy as defined in F1 herein;
- 2) substituted alkoxy as defined in F2 herein;
- 3) acyl as defined in F7 herein;
- 4) acylamino as defined in F8 herein;

- 5) acyloxy as defined in F9 herein;
- 6) amino;
- 7) aminoacyl as defined in F11 herein;
- 8) aminoacyloxy as defined in F12 herein;
- 9) cyano;
- 10) halogen selected from fluoro, chloro, bromo and iodo;
- 11) hydroxyl;
- 12) carboxyl;
- 13) carboxylalkyl as defined in F18 herein;
- 14) thiol;
- 15) thioalkoxy as defined in F20 herein;
- 16) substituted thioalkoxy as defined in F21 herein;
- 17) aryl as defined in F22 herein;
- 18) heteroaryl as defined in F23 herein;
- 19) heterocyclic as defined in F24 herein;
- 20) nitro;
- 21) -SO-alkyl wherein alkyl is defined in A herein;
- 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- 23) -SO-aryl wherein aryl is defined in F22 herein;
- 24) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
- 25) -SO₂-alkyl wherein alkyl is defined in A herein;
- 26) -SO₂-substituted alkyl wherein substituted alkyl is defined in F herein;
- 27) -SO₂-aryl wherein aryl is defined in F22 herein;
- 28) -SO₂-heteroaryl wherein heteroaryl is defined in F23 herein;
- 29) mono- and dialkylamino wherein alkyl is defined in A herein;

- 73
- 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
 - 31) mono- and di-arylamino wherein aryl is defined in F22 herein;
 - 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
 - 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein; and
 - 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- I) substituted cycloalkyl having 3 to 12 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) hydroxy;
 - 2) acyl as defined in F7 herein;
 - 3) acyloxy as defined in F9 herein;
 - 4) alkyl as defined in A herein;
 - 5) substituted alkyl as defined in F herein;
 - 6) alkoxy as defined in F1 herein;
 - 7) substituted alkoxy as defined in F2 herein;
 - 8) alkenyl as defined in B herein;
 - 9) substituted alkenyl as defined in G herein;
 - 10) alkynyl as defined in C herein;
 - 11) substituted alkynyl as defined in H herein;
 - 12) amino;

C³

- 13) aminoacyl as defined in F11 herein;
 - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
 - 15) aryl as defined in F22 herein;
 - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - 17) carboxyl;
 - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
 - 19) cyano;
 - 20) halo selected from fluoro, chloro, bromo and iodo;
 - 21) nitro;
 - 22) heteroaryl as defined in F23 herein;
 - 23) thioalkoxy as defined in F20 herein;
 - 24) substituted thioalkoxy as defined in F21 herein; and
 - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- J) substituted cycloalkenyl having from 4 to 8 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) hydroxy;
 - 2) acyl as defined in F7 herein;
 - 3) acyloxy as defined in F9 herein;
 - 4) alkyl as defined in A herein;
 - 5) substituted alkyl as defined in F herein;
 - 6) alkoxy as defined in F1 herein;
 - 7) substituted alkoxy as defined in F2 herein;
 - 8) alkenyl as defined in B herein;

- C³
- 9) substituted alkenyl as defined in G herein;
 - 10) alkynyl as defined in C herein;
 - 11) substituted alkynyl as defined in H herein;
 - 12) amino;
 - 13) aminoacyl as defined in F11 herein;
 - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
 - 15) aryl as defined in F22 herein;
 - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - 17) carboxyl;
 - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
 - 19) cyano;
 - 20) halo selected from fluoro, chloro, bromo and iodo;
 - 21) nitro;
 - 22) heteroaryl as defined in F23 herein;
 - 23) thioalkoxy as defined in F20 herein;
 - 24) substituted thioalkoxy as defined in F21 herein; and
 - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- K) aryl as defined in F22 herein;
- L) heteroaryl as defined in F23 herein; and
- M) heterocyclic as defined in F24 herein;

Z' is represented by the formula -CX'X"-, -T-CH₂- or -T-C(O)- where T is selected from the group consisting of oxygen, sulfur, -NR⁵ where R⁵ is:

- C.3
- N) hydrogen;
 - O) acyl as defined in F7 herein;
 - P) alkyl as defined in A herein;
 - Q) aryl as defined in F22 herein; or
 - R) heteroaryl as defined in F23 herein;

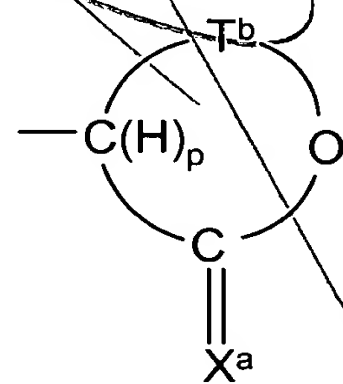
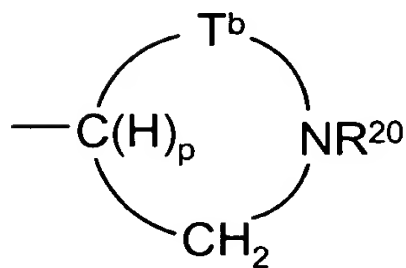
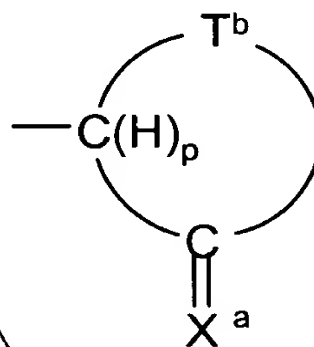
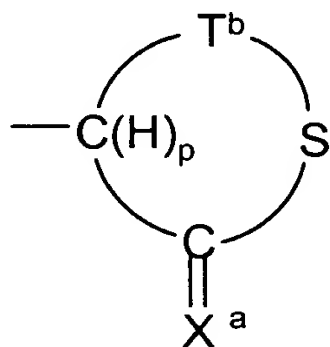
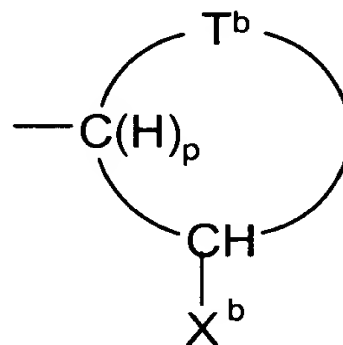
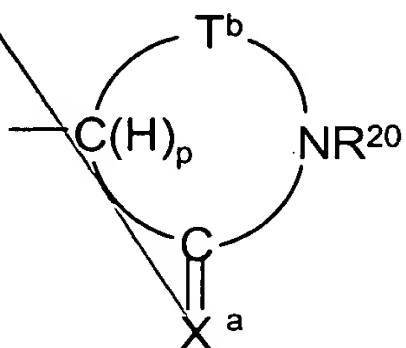
X' is hydrogen, hydroxy or fluoro; X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

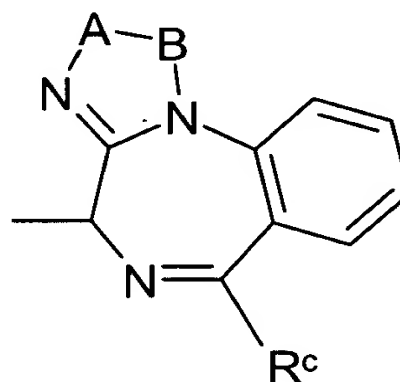
R² is selected from the group consisting of:

- S) alkyl as defined in A herein;
- T) substituted alkyl as defined in F herein;
- U) alkenyl as defined in B herein;
- V) substituted alkenyl as defined in G herein;
- W) alkynyl as defined in C herein;
- X) substituted alkynyl as defined in H herein;
- Y) cycloalkyl as defined in D herein;
- Z) aryl as defined in F22 herein;
- AA) heteroaryl as defined in F23 herein;
- BB) heterocyclic as defined in F24 herein;
- BB¹) 2-aminopyrid-6-yl;
- BB²) 2-methylcyclopentyl;
- BB³) cyclohex-2-enyl; and
- BB⁴) $-(CH_2)_4NHC(O)OC(CH_3)_3$;

Q is selected from the group of monocyclic and fused polycyclic groups having the formulas:

C³





wherein T^b is selected from the group consisting of:

- CC) alkylene where alkylene is a divalent alkyl and alkyl is defined in A herein;
- DD) substituted alkylene where substituted alkylene is a divalent substituted alkyl and substituted alkyl is defined in F herein;
- EE) alkenylene where alkenylene is a divalent alkenyl and alkenyl is defined in B herein;
- FF) substituted alkenylene where substituted alkenylene is a divalent substituted alkenyl and substituted alkenyl is defined in G herein;
- GG) $-(R^{21}Z^a)_qR^{21}-$ and $-Z^aR^{21}-$ where Z^a is a substituent selected from the group consisting of:
 - 1) $-O-$;
 - 2) $-S-$; and
 - 3) $>NR^{20}$, each R^{20} is independently selected from the group consisting of:
 - a) alkyl as defined in A herein;
 - b) alkenyl as defined in B herein;
 - c) alkynyl as defined in C herein;
 - d) cycloalkyl as defined D herein;
 - e) cycloalkenyl as defined in E herein;
 - f) substituted alkyl as defined in F herein;

- 3
- g) substituted alkenyl as defined in G herein;
 - h) substituted alkynyl as defined in H herein;
 - i) aryl as defined in F22 herein;
 - j) heteroaryl as defined in F23 herein; and
 - k) heterocyclic as defined in F24 herein;

wherein each R^{21} is independently selected from the group consisting of:

- 4) alkylene as defined in CC herein;
- 5) substituted alkylene as defined in DD herein;
- 6) alkenylene as defined in EE herein; and
- 7) substituted alkenylene as defined in FF herein;

with the proviso that when Z^a is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, q is an integer of from 1 to 3;

X^a is oxo or thioxo; X^b is -OH or -SH;

A-B is selected from a group of:

- HH) alkylene as defined in CC herein;
- II) alkenylene as defined in DD herein;
- JJ) substituted alkylene as defined in EE herein;
- KK) substituted alkenylene as defined in FF herein; and
- LL) -N=CH-;

R^c is selected from the group consisting of:

- MM) alkyl as defined in A herein;
- NN) substituted alkyl as defined in F herein;
- OO) alkenyl as defined in B herein;
- PP) substituted alkenyl as defined in G herein;
- QQ) aryl as defined in F22 herein;

- 3
- RR) heteroaryl as defined in F23 herein;
SS) heterocyclic as defined in F34 herein;
TT) cycloalkyl as defined in D herein; and
UU) substituted cycloalkyl as defined in I herein;

p is an integer equal to 0 or 1 such that when p is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when p is one, the ring is saturated at the carbon atom of ring attachment to NH;

and pharmaceutically acceptable salts thereof;

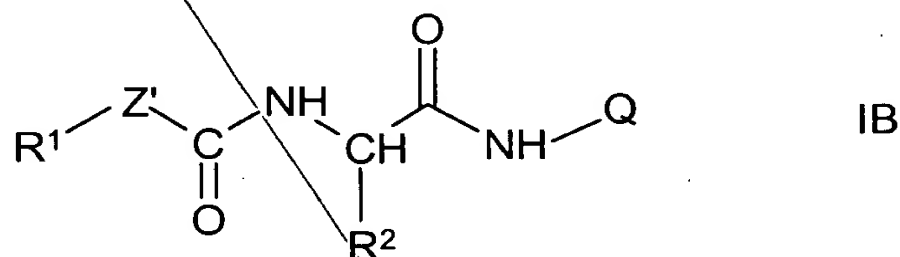
with the following provisos:

- A. when R^1 is 3,5-difluorophenyl, R^2 is $-\text{CH}_3$, Z' is $-\text{CH}_2-$, and p is 1, then the group defined by Q, does not form a 2-(S)-indanol group;
- B. when R^1 is phenyl, R^2 is $-\text{CH}_3$, Z' is $-\text{CH}_2-$, and p is 1, then the group defined by Q, does not form a trans-2-hydroxy-cyclohex-1-yl group;
- C. when R^1 is cyclopropyl, R^2 is $-\text{CH}_3$, Z' is $-\text{CH}_2-$, and p is 1, then the group defined by Q, does not form an N-methylcaprolactam group;
- D. when R^1 is 4-chlorobenzoyl- CH_2- , R^2 is $-\text{CH}_3$, Z' is $-\text{CH}_2-$, and p is 1, then the group defined by Q, does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;
- E. when R^1 is 2-phenylphenyl, R^2 is $-\text{CH}_3$, Z' is $-\text{CH}_2-$, and p is 1, then the group defined by Q, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;
- F. when R^1 is $\text{CH}_3\text{OC}(\text{O})\text{CH}_2-$, R^2 is $-\text{CH}_3$, Z' is $-\text{CH}_2-$, and p is 1, then the group defined by Q, does not form an 2,3-dihydro-1-(*t*-butyl $\text{C}(\text{O})\text{CH}_2-$)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
- G. when R^1 is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl, $\text{CH}_3\text{OC}(\text{O})\text{CH}_2-$, 4- HOCH_2 -phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or $\text{CH}_3\text{S}-$, R^2 is $-\text{CH}_3$, Z' is $-\text{CH}_2-$, and p is 1, then the group defined by Q, does not form a 2,3-dihydro-1-(N,N-diethylamino- CH_2CH_2-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

H. when R^1 is 2,6-difluorophenyl, R^2 is $-\text{CH}_3$, Z' is $-\text{CH}(\text{OH})-$, and p is 1, then the group defined by Q, does not form a 2,3-dihydro-1-(N,N-diethylamino- CH_2CH_2)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

C3 I. when the ring defined by Q forms a cycloalkyl, then it does not form a cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.

96. (Amended) A method for preventing the onset of AD in a human patient at risk for developing AD which method comprises administering to said patient a pharmaceutical composition comprising a pharmaceutically inert carrier and an effective amount of a compound or a mixture of compounds of formula IB:



wherein R^1 is selected from the group consisting of:

- A) alkyl of from 1 to 10 carbon atoms;
- B) alkenyl of from 2 to 10 carbon atoms and 1-2 sites of alkenyl unsaturation;
- C) alkynyl of from 2 to 10 carbon atoms and from 1-2 sites of alkynyl unsaturation;
- D) cycloalkyl of from 3 to 12 carbon atoms;
- E) cycloalkenyl of from 4 to 8 carbon atoms;
- F) substituted alkyl of from 1 to 10 carbon atoms, having from 1 to 5 substituents selected from:
 - 1) alkoxy of from 1 to 10 carbon atoms;
 - 2) substituted alkoxy of the formula substituted alkyl-O- where substituted alkyl is as defined in F herein;

- 23
- 3) cycloalkyl which is as defined in D herein;
 - 4) substituted cycloalkyl is defined in I herein;
 - 5) cycloalkenyl which is defined in E herein;
 - 6) substituted cycloalkenyl which is defined in J herein;
 - 7) acyl selected from alkyl-C(O)-, substituted alkyl-C(O)-, cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)- and heterocyclic-C(O)- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein substituted cycloalkyl is defined in I herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
 - 8) acylamino having the formula -C(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
 - 9) acyloxy selected from alkyl-C(O)O-, substituted alkyl-C(O)O-, cycloalkyl-C(O)O-, aryl-C(O)O-, heteroaryl-C(O)O-, and heterocyclic-C(O)O- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
 - 10) amino;

- C³
- 11) aminoacyl having the formula -NRC(O)R wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 12) aminoacyloxy having the formula -NRC(O)OR wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 13) cyano;
- 14) halogen;
- 15) hydroxyl;
- 16) carboxyl;
- 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
- 19) thiol;
- 20) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
- 21) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
- 22) aryl having from 6 to 14 ring carbon atoms, optionally substituted with from 1 to 5 substituents selected from the group consisting of:
- a) hydroxy;
 - b) acyl as defined in F7 herein;

- 23
- c) acyloxy as defined in F9 herein;
 - d) alkyl as defined in A herein;
 - e) substituted alkyl as defined in F herein;
 - f) alkoxy as defined in F1 herein;
 - g) substituted alkoxy as defined in F2 herein;
 - h) alkenyl as defined in B herein;
 - i) substituted alkenyl as defined in G herein;
 - j) alkynyl as defined in C herein;
 - k) substituted alkynyl as defined in H herein;
 - l) amino;
 - m) aminoacyl as defined in F11 herein;
 - n) acylamino as defined in F8 herein;
 - o) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
 - p) aryl as defined in F22 herein;
 - q) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - r) azido;
 - s) carboxyl;
 - t) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
 - u) cyano;
 - v) halo selected from fluoro, chloro, bromo and iodo;
 - w) nitro;
 - x) heteroaryl as defined in F23 herein;
 - y) heterocyclic as defined in F24 herein;
 - z) aminoacyloxy as defined in F12 herein;

- C³
- aa) oxyacylamino having the formula -OC(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
 - bb) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
 - cc) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
 - dd) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein;
 - ee) thioheteroaryloxy having the formula -S-heteroaryl wherein heteroaryl is defined F23 herein;
 - ff) -SO-alkyl wherein alkyl is defined in A herein;
 - gg) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
 - hh) -SO-aryl wherein aryl is defined in F22 herein;
 - ii) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
 - jj) -SO₂-alkyl wherein alkyl is defined in A herein;
 - kk) -SO₂-substituted alkyl wherein substituted alkyl is defined in F herein;
 - ll) -SO₂-aryl wherein aryl is defined in F22 herein;
 - mm) -SO₂-heteroaryl wherein heteroaryl is defined in F23 herein;
 - nn) trihalomethyl wherein halo is defined in I20 herein;
 - oo) mono- and dialkylamino wherein alkyl is defined in A herein;

- 3
- pp) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- qq) mono- and di-arylamino wherein aryl is defined in F22 herein;
- rr) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
- ss) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein;
- tt) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 23) heteroaryl of from 1 to 15 ring carbon atoms and 1 to 4 ring heteroatoms selected from oxygen, nitrogen and sulfur, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
 - b) substituted alkyl as defined in F herein;
 - c) alkoxy as defined in F1 herein;
 - d) substituted alkoxy as defined in F2 herein;
 - e) aryl as defined in F22 herein;
 - f) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - g) halo selected from fluoro, chloro, bromo and iodo;
 - h) nitro;
 - i) heteroaryl as defined in F23 herein;
 - j) thiol;

- C3
- k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
 - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
 - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein; and
 - n) trihalomethyl wherein halo is defined in I20 herein;
- 24) heterocyclic of from 1 to 15 ring carbon atoms and from 1 to 4 ring atoms selected from nitrogen, sulfur and oxygen, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
 - b) substituted alkyl as defined in F herein;
 - c) alkoxy as defined in F1 herein;
 - d) substituted alkoxy as defined in F2 herein;
 - e) aryl as defined in F22 herein;
 - f) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - g) halo selected from fluoro, chloro, bromo and iodo;
 - h) nitro;
 - i) heteroaryl as defined in F23 herein;
 - j) thiol;
 - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
 - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;

- 33
- m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein; and
 - n) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
 - 25) aryloxy of the formula -O-aryl wherein aryl is defined in F22 herein;
 - 26) heteroaryloxy of the formula -O-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 27) hydroxyamino;
 - 28) alkoxyamino wherein alkoxy is defined in F1 herein;
 - 29) nitro;
 - 30) -SO-alkyl wherein alkyl is defined in A herein;
 - 31) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
 - 32) -SO-aryl wherein aryl is defined in F22 herein;
 - 33) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 34) -SO₂-alkyl wherein alkyl is defined in A herein;
 - 35) -SO₂-substituted alkyl wherein substituted alkyl is defined in F herein;
 - 36) -SO₂-aryl wherein aryl is defined in F22 herein;
 - 37) -SO₂-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 38) mono- and dialkylamino wherein alkyl is defined in A herein;
 - 39) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
 - 40) mono- and di-arylamino wherein aryl is defined in F22 herein;
 - 41) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
 - 42) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein;

C3

- 43) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- G) substituted alkenyl having from 1 to 3 substituents selected from the group consisting of:
- 1) alkoxy as defined in F1 herein;
 - 2) substituted alkoxy as defined in F2 herein;
 - 3) acyl as defined in F7 herein;
 - 4) acylamino as defined in F8 herein;
 - 5) acyloxy as defined in F9 herein;
 - 6) amino;
 - 7) aminoacyl as defined in F11 herein;
 - 8) aminoacyloxy as defined in F12 herein;
 - 9) cyano;
 - 10) halogen selected from fluoro, chloro, bromo and iodo;
 - 11) hydroxyl;
 - 12) carboxyl;
 - 13) carboxylalkyl as defined in F18 herein;
 - 14) thiol;
 - 15) thioalkoxy as defined in F20 herein;
 - 16) substituted thioalkoxy as defined in F21 herein;
 - 17) aryl as defined in F22 herein;
 - 18) heteroaryl as defined in F23 herein;
 - 19) heterocyclic as defined in F24 herein;

- C-3
- 20) nitro;
 - 21) -SO-alkyl wherein alkyl is defined in A herein;
 - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
 - 23) -SO-aryl wherein aryl is defined in F22 herein;
 - 24) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 25) -SO₂-alkyl wherein alkyl is defined in A herein;
 - 26) -SO₂-substituted alkyl wherein substituted alkyl is defined in F herein;
 - 27) -SO₂-aryl wherein aryl is defined in F22 herein;
 - 28) -SO₂-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 29) mono- and dialkylamino wherein alkyl is defined in A herein;
 - 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
 - 31) mono- and di-arylamino wherein aryl is defined in F22 herein;
 - 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
 - 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein; and
 - 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;

H) substituted alkynyl of from 1 to 3 substituents selected from:

- 1) alkoxy as defined in F1 herein;
- 2) substituted alkoxy as defined in F2 herein;

- 23
- 3) acyl as defined in F7 herein;
 - 4) acylamino as defined in F8 herein;
 - 5) acyloxy as defined in F9 herein;
 - 6) amino;
 - 7) aminoacyl as defined in F11 herein;
 - 8) aminoacyloxy as defined in F12 herein;
 - 9) cyano;
 - 10) halogen selected from fluoro, chloro, bromo and iodo;
 - 11) hydroxyl;
 - 12) carboxyl;
 - 13) carboxylalkyl as defined in F18 herein;
 - 14) thiol;
 - 15) thioalkoxy as defined in F20 herein;
 - 16) substituted thioalkoxy as defined in F21 herein;
 - 17) aryl as defined in F22 herein;
 - 18) heteroaryl as defined in F23 herein;
 - 19) heterocyclic as defined in F24 herein;
 - 20) nitro;
 - 21) -SO-alkyl wherein alkyl is defined in A herein;
 - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
 - 23) -SO-aryl wherein aryl is defined in F22 herein;
 - 24) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 25) -SO₂-alkyl wherein alkyl is defined in A herein;
 - 26) -SO₂-substituted alkyl wherein substituted alkyl is defined in F herein;
 - 27) -SO₂-aryl wherein aryl is defined in F22 herein;
 - 28) -SO₂-heteroaryl wherein heteroaryl is defined in F23 herein;

- C-3
- 29) mono- and dialkylamino wherein alkyl is defined in A herein;
 - 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
 - 31) mono- and di-arylamino wherein aryl is defined in F22 herein;
 - 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
 - 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein; and
 - 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- I) substituted cycloalkyl having 3 to 12 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) hydroxy;
 - 2) acyl as defined in F7 herein;
 - 3) acyloxy as defined in F9 herein;
 - 4) alkyl as defined in A herein;
 - 5) substituted alkyl as defined in F herein;
 - 6) alkoxy as defined in F1 herein;
 - 7) substituted alkoxy as defined in F2 herein;
 - 8) alkenyl as defined in B herein;
 - 9) substituted alkenyl as defined in G herein;
 - 10) alkynyl as defined in C herein;
 - 11) substituted alkynyl as defined in H herein;

- 23
- 12) amino;
 - 13) aminoacyl as defined in F11 herein;
 - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
 - 15) aryl as defined in F22 herein;
 - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - 17) carboxyl;
 - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
 - 19) cyano;
 - 20) halo selected from fluoro, chloro, bromo and iodo;
 - 21) nitro;
 - 22) heteroaryl as defined in F23 herein;
 - 23) thioalkoxy as defined in F20 herein;
 - 24) substituted thioalkoxy as defined in F21 herein; and
 - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- J) substituted cycloalkenyl having from 4 to 8 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) hydroxy;
 - 2) acyl as defined in F7 herein;
 - 3) acyloxy as defined in F9 herein;
 - 4) alkyl as defined in A herein;
 - 5) substituted alkyl as defined in F herein;
 - 6) alkoxy as defined in F1 herein;
 - 7) substituted alkoxy as defined in F2 herein;

- 23
- 8) alkenyl as defined in B herein;
 - 9) substituted alkenyl as defined in G herein;
 - 10) alkynyl as defined in C herein;
 - 11) substituted alkynyl as defined in H herein;
 - 12) amino;
 - 13) aminoacyl as defined in F11 herein;
 - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
 - 15) aryl as defined in F22 herein;
 - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - 17) carboxyl;
 - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
 - 19) cyano;
 - 20) halo selected from ~~fluoro, chloro, bromo and iodo~~;
 - 21) nitro;
 - 22) heteroaryl as defined in F23 herein;
 - 23) thioalkoxy as defined in F20 herein;
 - 24) substituted thioalkoxy as defined in F21 herein; and
 - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- K) aryl as defined in F22 herein;
- L) heteroaryl as defined in F23 herein; and
- M) heterocyclic as defined in F24 herein;

Z' is represented by the formula -CX'X"-, -T-CH₂- or -T-C(O)- where T is selected from the group consisting of oxygen, sulfur, -NR⁵ where R⁵ is:

- C³
- N) hydrogen;
 - O) acyl as defined in F7 herein;
 - P) alkyl as defined in A herein;
 - Q) aryl as defined in F22 herein; or
 - R) heteroaryl as defined in F23 herein;

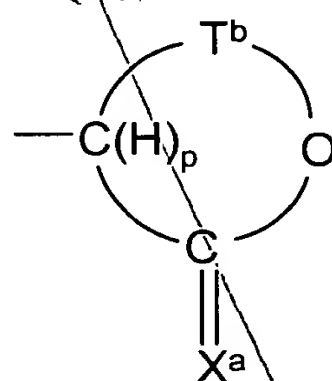
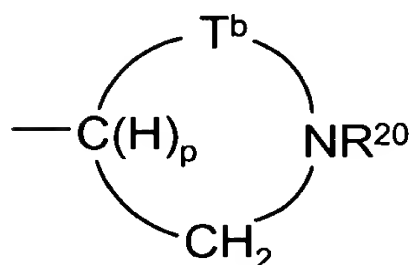
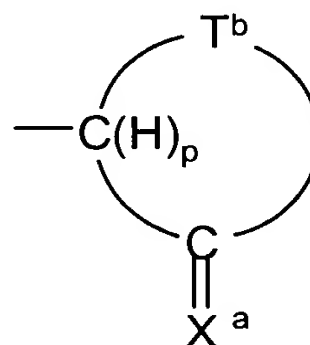
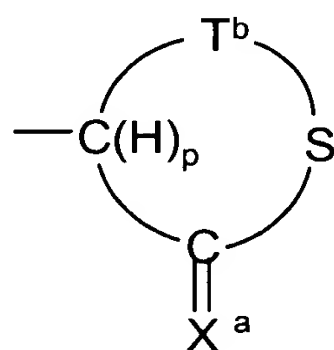
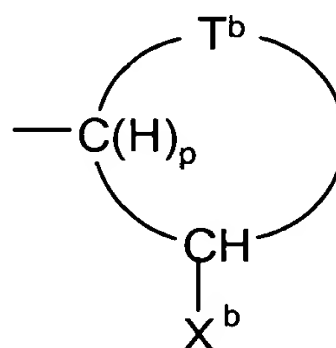
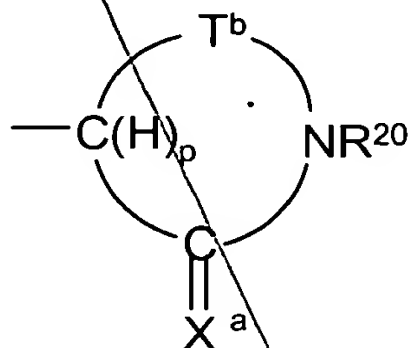
X' is hydrogen, hydroxy or fluoro; X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

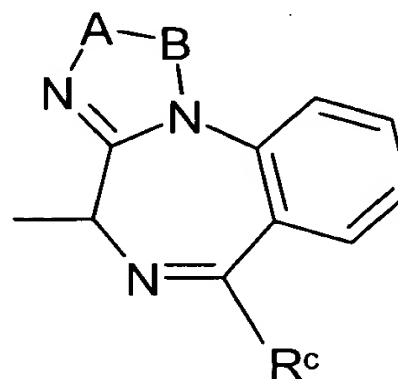
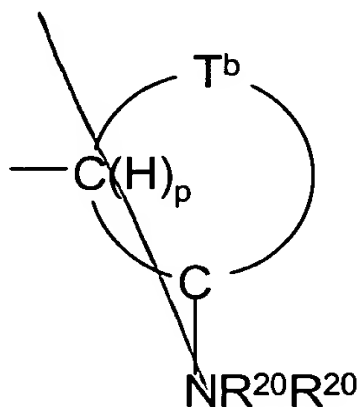
R² is selected from the group consisting of:

- S) alkyl as defined in A herein;
- T) substituted alkyl as defined in F herein;
- U) alkenyl as defined in B herein;
- V) substituted alkenyl as defined in G herein;
- W) alkynyl as defined in C herein;
- X) substituted alkynyl as defined in H herein;
- Y) cycloalkyl as defined in D herein;
- Z) aryl as defined in F22 herein;
- AA) heteroaryl as defined in F23 herein;
- BB) heterocyclic as defined in F24 herein;
- BB¹) 2-aminopyrid-6-yl;
- BB²) 2-methylcyclopentyl;
- BB³) cyclohex-2-enyl; and
- BB⁴) -(CH₂)₄NHC(O)OC(CH₃)₃;

Q is selected from the group of monocyclic and fused polycyclic groups having the formulas:

C.3





wherein T^b is selected from the group consisting of:

- CC) alkylene where alkylene is a divalent alkyl and alkyl is defined in A herein;
- DD) substituted alkylene where substituted alkylene is a divalent substituted alkyl and substituted alkyl is defined in F herein;
- EE) alkenylene where alkenylene is a divalent alkenyl and alkenyl is defined in B herein;
- FF) substituted alkenylene where substituted alkenylene is a divalent substituted alkenyl and substituted alkenyl is defined in G herein;
- GG) $\text{---(R}^{21}\text{Z}^a)_q\text{R}^{21}\text{---}$ and $\text{---Z}^a\text{R}^{21}\text{---}$ where Z^a is a substituent selected from the group consisting of:
 - 1) ---O--- ;
 - 2) ---S--- ; and
 - 3) >NR^{20} , each R^{20} is independently selected from the group consisting of:
 - a) alkyl as defined in A herein;
 - b) alkenyl as defined in B herein;
 - c) alkynyl as defined in C herein;
 - d) cycloalkyl as defined D herein;
 - e) cycloalkenyl as defined in E herein;
 - f) substituted alkyl as defined in F herein;
 - g) substituted alkenyl as defined in G herein;

- h) substituted alkynyl as defined in H herein;
i) aryl as defined in F22 herein;
j) heteroaryl as defined in F23 herein; and
k) heterocyclic as defined in F24 herein;

wherein each R^{21} is independently selected from the group consisting of:

- 4) alkylene as defined in CC herein;
5) substituted alkylene as defined in DD herein;
6) alkenylene as defined in EE herein; and
7) substituted alkenylene as defined in FF herein;

with the proviso that when Z^a is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, q is an integer of from 1 to 3;

X^a is oxo or thioxo; X^b is -OH or -SH;

A-B is selected from a group of:

- HH) alkylene as defined in CC herein;
II) alkenylene as defined in DD herein;
JJ) substituted alkylene as defined in EE herein;
KK) substituted alkenylene as defined in FF herein; and
LL) -N=CH-;

R^c is selected from the group consisting of:

- MM) alkyl as defined in A herein;
NN) substituted alkyl as defined in F herein;
OO) alkenyl as defined in B herein;
PP) substituted alkenyl as defined in G herein;
QQ) aryl as defined in F22 herein;
RR) heteroaryl as defined in F23 herein;

- SS) heterocyclic as defined in F34 herein;
TT) cycloalkyl as defined in D herein; and
UU) substituted cycloalkyl as defined in I herein;

³ p is an integer equal to 0 or 1 such that when p is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when p is one, the ring is saturated at the carbon atom of ring attachment to NH;

and pharmaceutically acceptable salts thereof;

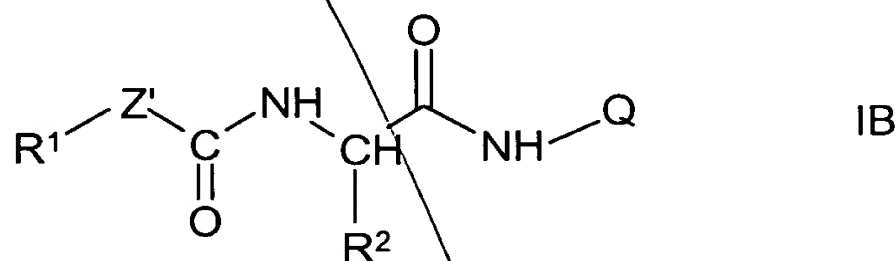
with the following provisos:

- A. when R^1 is 3,5-difluorophenyl, R^2 is $-\text{CH}_3$, Z' is $-\text{CH}_2-$, and p is 1, then the group defined by Q, does not form a 2-(S)-indanol group;
- B. when R^1 is phenyl, R^2 is $-\text{CH}_3$, Z' is $-\text{CH}_2-$, and p is 1, then the group defined by Q, does not form a trans-2-hydroxy-cyclohex-1-yl group;
- C. when R^1 is cyclopropyl, R^2 is $-\text{CH}_3$, Z' is $-\text{CH}_2-$, and p is 1, then the group defined by Q, does not form an N-methylcaprolactam group;
- D. when R^1 is 4-chlorobenzoyl- CH_2- , R^2 is $-\text{CH}_3$, Z' is $-\text{CH}_2-$, and p is 1, then the group defined by Q, does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;
- E. when R^1 is 2-phenylphenyl, R^2 is $-\text{CH}_3$, Z' is $-\text{CH}_2-$, and p is 1, then the group defined by Q, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;
- F. when R^1 is $\text{CH}_3\text{OC}(\text{O})\text{CH}_2-$, R^2 is $-\text{CH}_3$, Z' is $-\text{CH}_2-$, and p is 1, then the group defined by Q, does not form an 2,3-dihydro-1-(*t*-butyl $\text{C}(\text{O})\text{CH}_2-$)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;
- G. when R^1 is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl, $\text{CH}_3\text{OC}(\text{O})\text{CH}_2-$, 4- HOCH_2 -phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or $\text{CH}_3\text{S}-$, R^2 is $-\text{CH}_3$, Z' is $-\text{CH}_2-$, and p is 1, then the group defined by Q, does not form a 2,3-dihydro-1-(N,N-diethylamino- CH_2CH_2-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

H. when R¹ is 2,6-difluorophenyl, R² is -CH₃, Z' is -CH(OH)-, and *p* is 1, then the group defined by Q, does not form a 2,3-dihydro-1-(N,N-diethylamino-CH₂CH₂-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

I. when the ring defined by Q forms a cycloalkyl, then it does not form a cycloalkyl of from 3 to 8 carbon atoms optionally substituted with 1 to 3 alkyl groups.

97. (Amended) A method for treating a human patient with AD in order to inhibit further deterioration in the condition of that patient which method comprises administering to said patient a pharmaceutical composition comprising a pharmaceutically inert carrier and an effective amount of a compound or a mixture of compounds from formula IB:



wherein R¹ is selected from the group consisting of:

- A) alkyl of from 1 to 10 carbon atoms;
- B) alkenyl of from 2 to 10 carbon atoms and 1-2 sites of alkenyl unsaturation;
- C) alkynyl of from 2 to 10 carbon atoms and from 1-2 sites of alkynyl unsaturation;
- D) cycloalkyl of from 3 to 12 carbon atoms;
- E) cycloalkenyl of from 4 to 8 carbon atoms;
- F) substituted alkyl of from 1 to 10 carbon atoms, having from 1 to 5 substituents selected from:
- 1) alkoxy of from 1 to 10 carbon atoms;

- 2) substituted alkoxy of the formula substituted alkyl-O- where substituted alkyl is as defined in F herein;
- 3) cycloalkyl which is as defined in D herein;
- 4) substituted cycloalkyl is defined in I herein;
- 5) cycloalkenyl which is defined in E herein;
- 6) substituted cycloalkenyl which is defined in J herein;
- 7) acyl selected from alkyl-C(O)-, substituted alkyl-C(O)-, cycloalkyl-C(O)-, substituted cycloalkyl-C(O)-, aryl-C(O)-, heteroaryl-C(O)- and heterocyclic-C(O)- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein substituted cycloalkyl is defined in I herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 8) acylamino having the formula -C(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 9) acyloxy selected from alkyl-C(O)O-, substituted alkyl-C(O)O-, cycloalkyl-C(O)O-, aryl-C(O)O-, heteroaryl-C(O)O-, and heterocyclic-C(O)O- wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein cycloalkyl is defined in D herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;

- 10) amino;
- 11) aminoacyl having the formula -NRC(O)R wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 12) aminoacyloxy having the formula -NRC(O)OR wherein each R is independently selected from the group consisting of hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, and heterocyclic; wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 13) cyano;
- 14) halogen;
- 15) hydroxyl;
- 16) carboxyl;
- 18) carboxylalkyl having the formula -C(O)Oalkyl wherein alkyl is defined in A herein;
- 19) thiol;
- 20) thioalkoxy having the formula -S-alkyl , wherein alkyl is defined in A herein;
- 21) substituted thioalkoxy having the formula $\text{-S-substituted alkyl}$, wherein substituted alkyl is defined in F herein;
- 22) aryl having from 6 to 14 ring carbon atoms, optionally substituted with from 1 to 5 substituents selected from the group consisting of:
- a) hydroxy;

- 23
- b) acyl as defined in F7 herein;
 - c) acyloxy as defined in F9 herein;
 - d) alkyl as defined in A herein;
 - e) substituted alkyl as defined in F herein;
 - f) alkoxy as defined in F1 herein;
 - g) substituted alkoxy as defined in F2 herein;
 - h) alkenyl as defined in B herein;
 - i) substituted alkenyl as defined in G herein;
 - j) alkynyl as defined in C herein;
 - k) substituted alkynyl as defined in H herein;
 - l) amino;
 - m) aminoacyl as defined in F11 herein;
 - n) acylamino as defined in F8 herein;
 - o) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
 - p) aryl as defined in F22 herein;
 - q) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - r) azido;
 - s) carboxyl;
 - t) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
 - u) cyano;
 - v) halo selected from fluoro, chloro, bromo and iodo;
 - w) nitro;
 - x) heteroaryl as defined in F23 herein;
 - y) heterocyclic as defined in F24 herein;

C-3

- z) aminoacyloxy as defined in F12 herein;
- aa) oxyacylamino having the formula -OC(O)NRR where each R is independently hydrogen, alkyl, substituted alkyl, aryl, heteroaryl, or heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- bb) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
- cc) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
- dd) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein;
- ee) thioheteroaryloxy having the formula -S-heteroaryl wherein heteroaryl is defined F23 herein;
- ff) -SO-alkyl wherein alkyl is defined in A herein;
- gg) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
- hh) -SO-aryl wherein aryl is defined in F22 herein;
- ii) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
- jj) -SO₂-alkyl wherein alkyl is defined in A herein;
- kk) -SO₂-substituted alkyl wherein substituted alkyl is defined in F herein;
- ll) -SO₂-aryl wherein aryl is defined in F22 herein;
- mm) -SO₂-heteroaryl wherein heteroaryl is defined in F23 herein;
- nn) trihalomethyl wherein halo is defined in I20 herein;

- oo) mono- and dialkylamino wherein alkyl is defined in A herein;
- pp) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
- qq) mono- and di-arylamino wherein aryl is defined in F22 herein;
- rr) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
- ss) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein;
- tt) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- 23) heteroaryl of from 1 to 15 ring carbon atoms and 1 to 4 ring heteroatoms selected from oxygen, nitrogen and sulfur, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
 - b) substituted alkyl as defined in F herein;
 - c) alkoxy as defined in F1 herein;
 - d) substituted alkoxy as defined in F2 herein;
 - e) aryl as defined in F22 herein;
 - f) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - g) halo selected from fluoro, chloro, bromo and iodo;
 - h) nitro;
 - i) heteroaryl as defined in F23 herein;

C3

- j) thiol;
 - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;
 - l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
 - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein; and
 - n) trihalomethyl wherein halo is defined in I20 herein;
- 24) heterocyclic of from 1 to 15 ring carbon atoms and from 1 to 4 ring atoms selected from nitrogen, sulfur and oxygen, optionally substituted with from 1 to 5 substituents selected from:
- a) alkyl as defined in A herein;
 - b) substituted alkyl as defined in F herein;
 - c) alkoxy as defined in F1 herein;
 - d) substituted alkoxy as defined in F2 herein;
 - e) aryl as defined in F22 herein;
 - f) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - g) halo selected from fluoro, chloro, bromo and iodo;
 - h) nitro;
 - i) heteroaryl as defined in F23 herein;
 - j) thiol;
 - k) thioalkoxy having the formula -S-alkyl, wherein alkyl is defined in A herein;

- 23
- l) substituted thioalkoxy having the formula -S-substituted alkyl, wherein substituted alkyl is defined in F herein;
 - m) thioaryloxy having the formula -S-aryl wherein aryl is defined in F22 herein; and
 - n) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- 25) aryloxy of the formula -O-aryl wherein aryl is defined in F22 herein;
 - 26) heteroaryloxy of the formula -O-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 27) hydroxyamino;
 - 28) alkoxyamino wherein alkoxy is defined in F1 herein;
 - 29) nitro;
 - 30) -SO-alkyl wherein alkyl is defined in A herein;
 - 31) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
 - 32) -SO-aryl wherein aryl is defined in F22 herein;
 - 33) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 34) -SO₂-alkyl wherein alkyl is defined in A herein;
 - 35) -SO₂-substituted alkyl wherein substituted alkyl is defined in F herein;
 - 36) -SO₂-aryl wherein aryl is defined in F22 herein;
 - 37) -SO₂-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 38) mono- and dialkylamino wherein alkyl is defined in A herein;
 - 39) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
 - 40) mono- and di-arylamino wherein aryl is defined in F22 herein;
 - 41) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;

C-3

- 42) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein;
- 43) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- G) substituted alkenyl having from 1 to 3 substituents selected from the group consisting of:
 - 1) alkoxy as defined in F1 herein;
 - 2) substituted alkoxy as defined in F2 herein;
 - 3) acyl as defined in F7 herein;
 - 4) acylamino as defined in F8 herein;
 - 5) acyloxy as defined in F9 herein;
 - 6) amino;
 - 7) aminoacyl as defined in F11 herein;
 - 8) aminoacyloxy as defined in F12 herein;
 - 9) cyano;
 - 10) halogen selected from fluoro, chloro, bromo and iodo;
 - 11) hydroxyl;
 - 12) carboxyl;
 - 13) carboxylalkyl as defined in F18 herein;
 - 14) thiol;
 - 15) thioalkoxy as defined in F20 herein;
 - 16) substituted thioalkoxy as defined in F21 herein;
 - 17) aryl as defined in F22 herein;

- 23
- 18) heteroaryl as defined in F23 herein;
 - 19) heterocyclic as defined in F24 herein;
 - 20) nitro;
 - 21) -SO-alkyl wherein alkyl is defined in A herein;
 - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
 - 23) -SO-aryl wherein aryl is defined in F22 herein;
 - 24) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 25) -SO₂-alkyl wherein alkyl is defined in A herein;
 - 26) -SO₂-substituted alkyl wherein substituted alkyl is defined in F herein;
 - 27) -SO₂-aryl wherein aryl is defined in F22 herein;
 - 28) -SO₂-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 29) mono- and dialkylamino wherein alkyl is defined in A herein;
 - 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
 - 31) mono- and di-arylamino wherein aryl is defined in F22 herein;
 - 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
 - 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein; and
 - 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;

H) substituted alkynyl of from 1 to 3 substituents selected from:

- 23
- 1) alkoxy as defined in F1 herein;
 - 2) substituted alkoxy as defined in F2 herein;
 - 3) acyl as defined in F7 herein;
 - 4) acylamino as defined in F8 herein;
 - 5) acyloxy as defined in F9 herein;
 - 6) amino;
 - 7) aminoacyl as defined in F11 herein;
 - 8) aminoacyloxy as defined in F12 herein;
 - 9) cyano;
 - 10) halogen selected from fluoro, chloro, bromo and iodo;
 - 11) hydroxyl;
 - 12) carboxyl;
 - 13) carboxylalkyl as defined in F18 herein;
 - 14) thiol;
 - 15) thioalkoxy as defined in F20 herein;
 - 16) substituted thioalkoxy as defined in F21 herein;
 - 17) aryl as defined in F22 herein;
 - 18) heteroaryl as defined in F23 herein;
 - 19) heterocyclic as defined in F24 herein;
 - 20) nitro;
 - 21) -SO-alkyl wherein alkyl is defined in A herein;
 - 22) -SO-substituted alkyl wherein substituted alkyl is defined in F herein;
 - 23) -SO-aryl wherein aryl is defined in F22 herein;
 - 24) -SO-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 25) -SO₂-alkyl wherein alkyl is defined in A herein;
 - 26) -SO₂-substituted alkyl wherein substituted alkyl is defined in F herein;

C3
cont

- 27) -SO₂-aryl wherein aryl is defined in F22 herein;
 - 28) -SO₂-heteroaryl wherein heteroaryl is defined in F23 herein;
 - 29) mono- and dialkylamino wherein alkyl is defined in A herein;
 - 30) mono- and di-substituted alkylamino wherein substituted alkyl is defined in F herein;
 - 31) mono- and di-arylamino wherein aryl is defined in F22 herein;
 - 32) mono- and di-heteroarylamino wherein heteroaryl is defined in F23 herein;
 - 33) mono- and di-heterocyclicamino wherein heterocyclic is defined in F24 herein; and
 - 34) unsymmetric di-substituted amino having different substituents selected from alkyl, substituted alkyl, aryl, heteroaryl and heterocyclic wherein alkyl is defined in A herein; wherein substituted alkyl is defined in F herein; wherein aryl is defined in F22 herein; wherein heteroaryl is defined in F23 herein; and wherein heterocyclic is defined in F24 herein;
- I) substituted cycloalkyl having 3 to 12 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) hydroxy;
 - 2) acyl as defined in F7 herein;
 - 3) acyloxy as defined in F9 herein;
 - 4) alkyl as defined in A herein;
 - 5) substituted alkyl as defined in F herein;
 - 6) alkoxy as defined in F1 herein;
 - 7) substituted alkoxy as defined in F2 herein;
 - 8) alkenyl as defined in B herein;
 - 9) substituted alkenyl as defined in G herein;

C3
Cont

- 10) alkynyl as defined in C herein;
 - 11) substituted alkynyl as defined in H herein;
 - 12) amino;
 - 13) aminoacyl as defined in F11 herein;
 - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
 - 15) aryl as defined in F22 herein;
 - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - 17) carboxyl;
 - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
 - 19) cyano;
 - 20) halo selected from fluoro, chloro, bromo and iodo;
 - 21) nitro;
 - 22) heteroaryl as defined in F23 herein;
 - 23) thioalkoxy as defined in F20 herein;
 - 24) substituted thioalkoxy as defined in F21 herein; and
 - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- J) substituted cycloalkenyl having from 4 to 8 carbon atoms and from 1 to 5 substituents selected from the group consisting of:
- 1) hydroxy;
 - 2) acyl as defined in F7 herein;
 - 3) acyloxy as defined in F9 herein;
 - 4) alkyl as defined in A herein;
 - 5) substituted alkyl as defined in F herein;

23
cont

- 6) alkoxy as defined in F1 herein;
 - 7) substituted alkoxy as defined in F2 herein;
 - 8) alkenyl as defined in B herein;
 - 9) substituted alkenyl as defined in G herein;
 - 10) alkynyl as defined in C herein;
 - 11) substituted alkynyl as defined in H herein;
 - 12) amino;
 - 13) aminoacyl as defined in F11 herein;
 - 14) alkaryl of the formula -alkylene-aryl having 8 carbon atoms in the alkylene moiety and aryl is defined in F22 herein;
 - 15) aryl as defined in F22 herein;
 - 16) aryloxy having the formula -O-aryl wherein aryl is defined in F22 herein;
 - 17) carboxyl;
 - 18) carboxylalkyl having the formula "-C(O)Oalkyl" wherein alkyl is defined in A herein;
 - 19) cyano;
 - 20) halo selected from fluoro, chloro, bromo and iodo;
 - 21) nitro;
 - 22) heteroaryl as defined in F23 herein;
 - 23) thioalkoxy as defined in F20 herein;
 - 24) substituted thioalkoxy as defined in F21 herein; and
 - 25) trihalomethyl wherein halo is selected from fluoro, chloro, bromo and iodo;
- K) aryl as defined in F22 herein;
- L) heteroaryl as defined in F23 herein; and
- M) heterocyclic as defined in F24 herein;

Z' is represented by the formula -CX'X"-, -T-CH₂- or -T-C(O)- where T is selected from the group consisting of oxygen, sulfur, -NR⁵ where R⁵ is:

- N) hydrogen;
- O) acyl as defined in F7 herein;
- 93* P) alkyl as defined in A herein;
- Cent* Q) aryl as defined in F22 herein; or
- R) heteroaryl as defined in F23 herein;

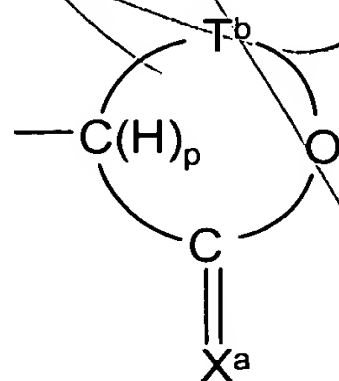
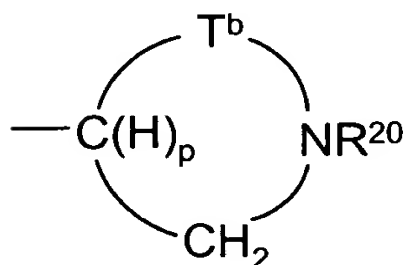
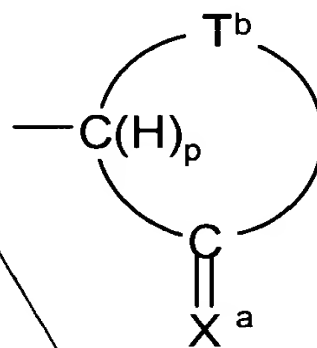
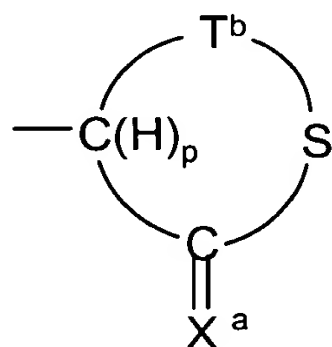
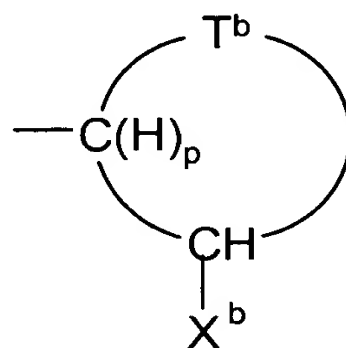
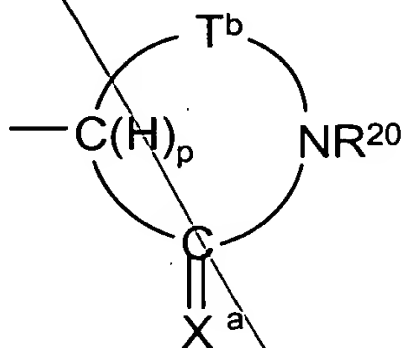
X' is hydrogen, hydroxy or fluoro; X'' is hydrogen, hydroxy or fluoro, or X' and X'' together form an oxo group;

R² is selected from the group consisting of:

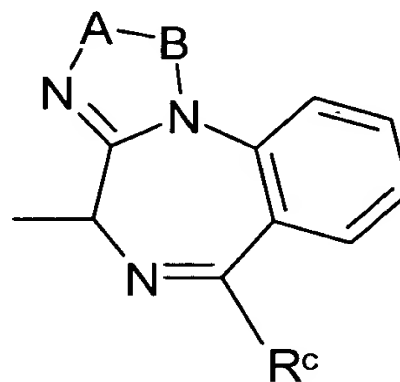
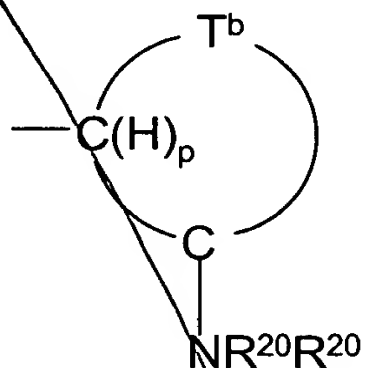
- S) alkyl as defined in A herein;
- T) substituted alkyl as defined in F herein;
- U) alkenyl as defined in B herein;
- V) substituted alkenyl as defined in G herein;
- W) alkynyl as defined in C herein;
- X) substituted alkynyl as defined in H herein;
- Y) cycloalkyl as defined in D herein;
- Z) aryl as defined in F22 herein;
- AA) heteroaryl as defined in F23 herein;
- BB) heterocyclic as defined in F24 herein;
- BB¹) 2-aminopyrid-6-yl;
- BB²) 2-methylcyclopentyl;
- BB³) cyclohex-2-enyl; and
- BB⁴) -(CH₂)₄NHC(O)OC(CH₃)₃;

Q is selected from the group of monocyclic and fused polycyclic groups having the formulas:

*C³
cont*



23
cont.



wherein T^b is selected from the group consisting of:

- CC) alkylene where alkylene is a divalent alkyl and alkyl is defined in A herein;
- DD) substituted alkylene where substituted alkylene is a divalent substituted alkyl and substituted alkyl is defined in F herein;
- EE) alkenylene where alkenylene is a divalent alkenyl and alkenyl is defined in B herein;
- FF) substituted alkenylene where substituted alkenylene is a divalent substituted alkenyl and substituted alkenyl is defined in G herein;
- GG) $-(R^{21}Z^a)_qR^{21}-$ and $-Z^aR^{21}-$ where Z^a is a substituent selected from the group consisting of:
 - 1) $-O-$;
 - 2) $-S-$; and
 - 3) $>NR^{20}$, each R^{20} is independently selected from the group consisting of:
 - a) alkyl as defined in A herein;
 - b) alkenyl as defined in B herein;
 - c) alkynyl as defined in C herein;
 - d) cycloalkyl as defined D herein;
 - e) cycloalkenyl as defined in E herein;
 - f) substituted alkyl as defined in F herein;
 - g) substituted alkenyl as defined in G herein;

C3
Cont

- h) substituted alkynyl as defined in H herein;
- i) aryl as defined in F22 herein;
- j) heteroaryl as defined in F23 herein; and
- k) heterocyclic as defined in F24 herein;

wherein each R^{21} is independently selected from the group consisting of:

- 4) alkylene as defined in CC herein;
- 5) substituted alkylene as defined in DD herein;
- 6) alkenylene as defined in EE herein; and
- 7) substituted alkenylene as defined in FF herein;

with the proviso that when Z^a is -O- or -S-, any unsaturation in the alkenylene and substituted alkenylene does not involve participation of the -O- or -S-, q is an integer of from 1 to 3;

X^a is oxo or thioxo; X^b is -OH or -SH;

A-B is selected from a group of:

- HH) alkylene as defined in CC herein;
- II) alkenylene as defined in DD herein;
- JJ) substituted alkylene as defined in EE herein;
- KK) substituted alkenylene as defined in FF herein; and
- LL) -N=CH-;

R^c is selected from the group consisting of:

- MM) alkyl as defined in A herein;
- NN) substituted alkyl as defined in F herein;
- OO) alkenyl as defined in B herein;
- PP) substituted alkenyl as defined in G herein;
- QQ) aryl as defined in F22 herein;
- RR) heteroaryl as defined in F23 herein;

SS) heterocyclic as defined in F34 herein;

TT) cycloalkyl as defined in D herein; and

UU) substituted cycloalkyl as defined in I herein;

Ex 2
Ex 1 p is an integer equal to 0 or 1 such that when p is zero, the ring defined by Q is unsaturated at the carbon atom of ring attachment to NH and when p is one, the ring is saturated at the carbon atom of ring attachment to NH;

and pharmaceutically acceptable salts thereof;

with the following provisos:

A. when R^1 is 3,5-difluorophenyl, R^2 is $-\text{CH}_3$, Z' is $-\text{CH}_2-$, and p is 1, then the group defined by Q, does not form a 2-(S)-indanol group;

B. when R^1 is phenyl, R^2 is $-\text{CH}_3$, Z' is $-\text{CH}_2-$, and p is 1, then the group defined by Q, does not form a trans-2-hydroxy-cyclohex-1-yl group;

C. when R^1 is cyclopropyl, R^2 is $-\text{CH}_3$, Z' is $-\text{CH}_2-$, and p is 1, then the group defined by Q, does not form an N-methylcaprolactam group;

D. when R^1 is 4-chlorobenzoyl- CH_2- , R^2 is $-\text{CH}_3$, Z' is $-\text{CH}_2-$, and p is 1, then the group defined by Q, does not form an 2,3-dihydro-1-methyl-5-phenyl-1H-1,4-benzodiazepin-2-one;

E. when R^1 is 2-phenylphenyl, R^2 is $-\text{CH}_3$, Z' is $-\text{CH}_2-$, and p is 1, then the group defined by Q, does not form an 7-methyl-5,7-dihydro-6H-dibenz[b,d]azepin-6-one;

F. when R^1 is $\text{CH}_3\text{OC}(\text{O})\text{CH}_2-$, R^2 is $-\text{CH}_3$, Z' is $-\text{CH}_2-$, and p is 1, then the group defined by Q, does not form an 2,3-dihydro-1-(*t*-butyl $\text{C}(\text{O})\text{CH}_2-$)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;

G. when R^1 is 4-ethoxyphenyl, 2,4,6-trimethylphenyl, 4-phenylphenyl, $\text{CH}_3\text{OC}(\text{O})\text{CH}_2-$, 4- HOCH_2 -phenyl, 2,4,6-trifluorophenyl, 2-trifluoromethyl-4-fluorophenyl, or $\text{CH}_3\text{S}-$, R^2 is $-\text{CH}_3$, Z' is $-\text{CH}_2-$, and p is 1, then the group defined by Q, does not form a 2,3-dihydro-1-(N,N-diethylamino- CH_2CH_2-)-5-(2-pyridyl)-1H-1,4-benzodiazepin-2-one;